

10/598370

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NEWS	9	NOV 04	Selected STN databases scheduled for removal on December 31, 2010
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TOTAL

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SESSION

FULL ESTIMATED COST

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0.22

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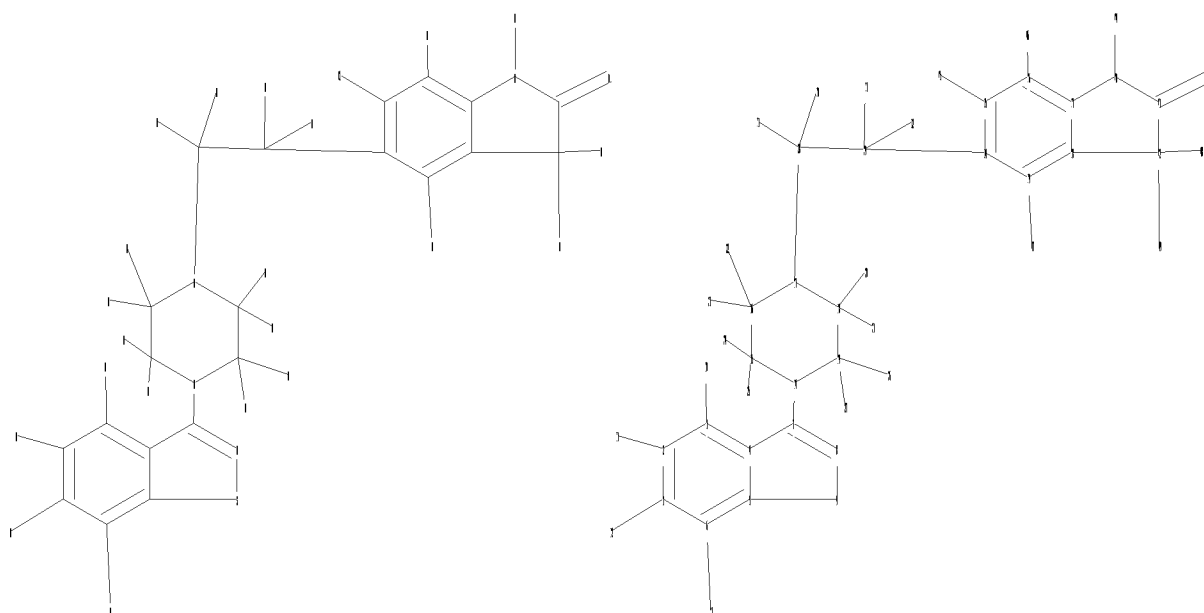
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<http://www.cas.org/support/stngen/stndoc/properties.html>

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10/598370



chain nodes :

10 11 12 13 20 21 22 23 24 25 26 27 28 29 30 31 32 33 43 44 45
46 47 48 49

ring nodes :

1 2 3 4 5 6 7 8 9 14 15 16 17 18 19 34 35 36 37 38 39 40 41
42

chain bonds :

1-12 2-11 3-10 6-13 7-14 15-24 15-25 16-22 16-23 17-28 18-20 18-21
19-26 19-27 28-29 28-30 28-31 29-32 29-33 29-34 35-45 36-46 39-47 40-44
41-43 42-48 42-49

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-9 7-8 8-9 14-15 14-19 15-16 16-17 17-18
18-19 34-35 34-39 35-36 36-37 37-38 37-40 38-39 38-42 40-41 41-42

exact/norm bonds :

4-7 5-9 7-8 7-14 8-9 14-15 14-19 15-16 16-17 17-18 17-28 18-19 37-40
38-42 40-41 41-42 41-43

exact bonds :

1-12 2-11 3-10 6-13 15-24 15-25 16-22 16-23 18-20 18-21 19-26 19-27
28-29 28-30 28-31 29-32 29-33 29-34 35-45 36-46 39-47 40-44 42-48 42-49

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 34-35 34-39 35-36 36-37 37-38 38-39

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS
28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:Atom 35:Atom
36:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:CLASS 44:CLASS
Page_3SS 46:CLASS 47:CLASS 48:CLASS 49:CLASS

10/598370

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 16:48:27 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 11 TO ITERATE

100.0% PROCESSED 11 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 22 TO 418

PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 16:48:36 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 193 TO ITERATE

100.0% PROCESSED 193 ITERATIONS

75 ANSWERS

SEARCH TIME: 00.00.01

L3 75 SEA SSS FUL L1

=> s l3 and nc>1

6414671 NC>1

L4 57 L3 AND NC>1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

197.53

197.75

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FILE COVERS 1907 - 30 Dec 2010 VOL 154 ISS 1

FILE LAST UPDATED: 29 Dec 2010 (20101229/ED)

REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2010

USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2010

CAPLUS now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

CAS Information Use Policies apply and are available at:

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L5 1253 L3

=> s 15 and(pure or purity)/ab,bi

510256 PURE/AB

537952 PURE/BI

194214 PURITY/AB

215236 PURITY/BI

L6 28 L5 AND(PURE OR PURITY)/AB,BI

=> d 16 1-28 bib abs hitstr

L6 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2010:1588960 CAPLUS

TI Novel visible spectrophotometric methods for estimation of Ziprasidone in pharmaceutical formulations

AU Sreelakshmi, A.; Rao, G.; Babu, G. Sudhakara Sai

CS Department Of Biotechnology, Montessori Mahila Kalasala, Vijayawada, 520010, India

SO Journal of Ultra Chemistry (2010), 6(2), 123-128

CODEN: JUCOAL; ISSN: 0973-3450

PB Journal of Ultra Chemistry

DT Journal

LA English

AB Ziprasidone is a typical antipsychotic agent. Two simple, sensitive and accurate spectrophotometric methods were developed for the determination of ziprasidone hydrochloride (ZPD) in pure state and in its pharmaceutical formulations. The developed method A is based on the reaction of the drug with 3-methyl-2-benzothiazolinone hydrazone in the presence of ferric chloride to form a colored species with λ_{\max} 640 nm and linearity in the range of 8-56 $\mu\text{g/mL}$. Method B involves ion association complex formation of the drug with methyl orange. The developed chromogen in Method B shows maximum absorption at λ_{\max} 420 nm and Linearity in the range of 3-15 $\mu\text{g/mL}$. The results obtained were statistically evaluated and were found to be accurate and reproducible.

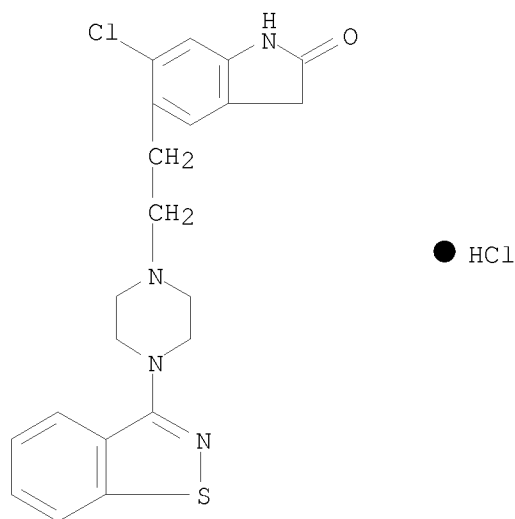
IT 122883-93-6, Ziprasidone hydrochloride

RL: ANT (Analyte); ANST (Analytical study)

(visible spectrophotometric methods for determination of ziprasidone in pharmaceutical formulations)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



L6 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2010:1392829 CAPLUS

DN 153:651821

TI Development and validation of a rapid RP-HPLC method for the estimation of Ziprasidone Hydrochloride Monohydrate in bulk and its capsule dosage forms

AU Chudasama, J. D.; Channabasavaraj, K. P.; Pandya, C. B.; Mani, T. T.

CS Department of Pharmaceutical Analysis, Bharathi College of Pharmacy, 571422, India

SO International Journal of Pharmaceutical Sciences Review and Research (2010), 4(3), 193-197

CODEN: IJPSRR; ISSN: 0976-044X

URL: <http://globalresearchonline.net/journalcontents/volume4issue3/Article%20031.pdf>

PB Global Research Online

DT Journal; (online computer file)

LA English

AB A rapid and sensitive Reverse Phase High Performance Liquid Chromatog. [RP-HPLC] method was developed for the determination of ziprasidone HCl monohydrate [ZHM] in pure and its capsule dosage forms. The method was validated as per International Conference on Harmonization [ICH] guidelines. YMC C18 column (150 + 4.6mm, 3 μ m) was used with a mobile phase containing a mixture of phosphate buffer (pH-3) and methanol

in the ratio of 60:40% volume/volume The anal. was performed with run time of 5 min at a flow rate of 1ml/min. The effluents were monitored at 219nm with UV detection and ZHM was eluted at 2.750min. The method was linear ($r^2 = 0.9999$) at concentration ranging from 10 to 50 μ g/mL, precise (intra-day relative standard deviation [RSD] and inter-day RSD values < 1.0%), accurate (mean recovery = 100.08%), specific and robust. Detection and quantification limits were 0.002 and 0.007 μ g/mL, resp. The results showed that the proposed method is suitable for the precise, accurate and rapid determination of ZHM in bulk, its capsule dosage forms and dissoln. samples

of capsules.

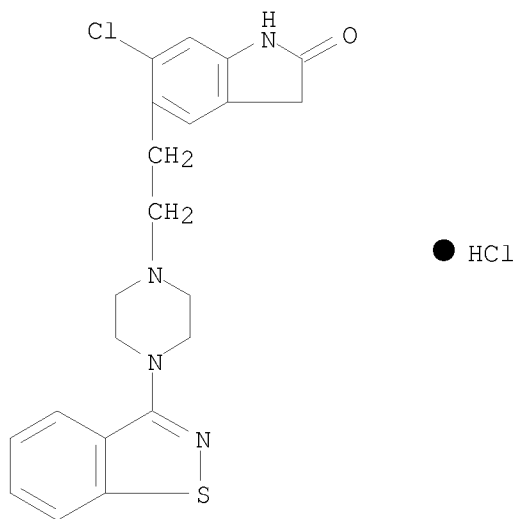
IT 138982-67-9, Ziprasidone hydrochloride monohydrate

RL: ANT (Analyte); ANST (Analytical study)
 (development and validation of rapid RP-HPLC method for determination of ziprasidone hydrochloride monohydrate in bulk and its capsule dosage forms)

RN 138982-67-9 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

● H₂O

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
 AN 2010:1348122 CAPLUS
 DN 153:627088
 TI Ziprasidone hydrochloride with new crystal form and its preparation method
 IN Jung, Yong Ho; Jung, Chun Won; Lee, Jung U.; Lee, Yun Seung; Kim, Gyeong
 Cheol; Kang, Byeong Gyu
 PA Hwail Pharmaceutical Co., Ltd., S. Korea
 SO Repub. Korea, 11pp.
 CODEN: KRXXFC
 DT Patent
 LA Korean
 FAN.CNT 1

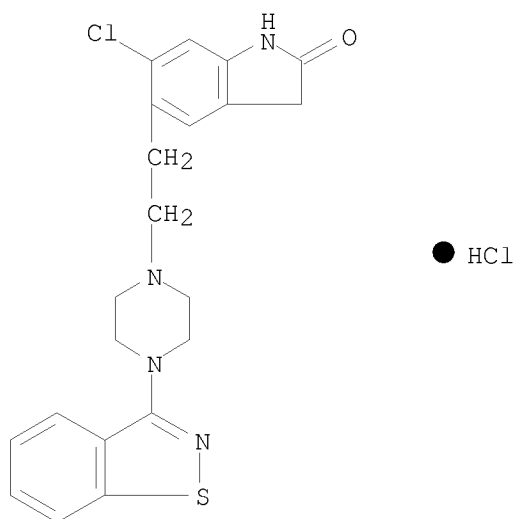
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	KR 989389	B1	20101025	KR 2010-56668	20100615
PRAI	KR 2010-56668		20100615		
AB	The title method for preparing crystalline ziprasidone hydrochloride (1.5 hydrate)				

comprises recrystg. ziprasidone base in solvent containing 1-methyl-2-pyrrolidone or DMSO, feeding the recrystd. ziprasidone base to a solvent selected from tert-Bu Me ether, Bu Me ether, sec-Bu Me ether and their mixture in the presence of aqueous hydrochloric acid to prepare slurry,

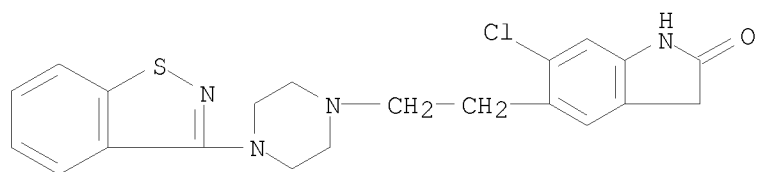
and

obtaining crystalline ziprasidone hydrochloride (1.5 hydrate) from the slurry at 5-10°C. The prepared compound has characteristic peaks (shown with 2 θ) at about 7.4, 10.8, 13.0, 14.8, 18.0, 21.7, 23.3, 24.3, and 25.9 \pm 0.2° in an XRD diffraction pattern, and m.p. of 287-289°C, starts melting and decomposition at about 282°C, and is completely degraded at 293°C in a DSC (differential scanning calorimetry) graph. The compound has purity of 99.5%, high stability, good fluidity, and little electrostatic generation.

IT 122883-93-6P, Ziprasidone hydrochloride 845275-28-7P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (preparation of crystalline ziprasidone hydrochloride)
 RN 122883-93-6 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



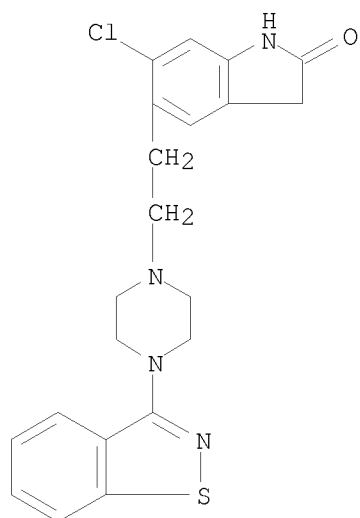
RN 845275-28-7 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (2:2:3) (CA INDEX NAME)



● HCl

● 3/2 H₂O

IT 146939-27-7P, Ziprasidone
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of crystalline ziprasidone hydrochloride)
 RN 146939-27-7 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



L6 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
 AN 2010:1162593 CAPLUS
 DN 153:368665
 TI Visible spectrophotometric methods for estimation of ziprasidone in pharmaceutical dosage forms
 AU Sreelakshmi, A.; Rao, G. Devala; Sai Babu, G. Sudhakara
 CS Department of Biotechnology, Montessori Mahila Kalasala, Vijayawada, 520 010, India

SO Journal of Chemical and Pharmaceutical Sciences (2010), 3(3), 154-156
 CODEN: JCPSFB; ISSN: 0974-2115

PB Journal of Chemical and Pharmaceutical Sciences

DT Journal

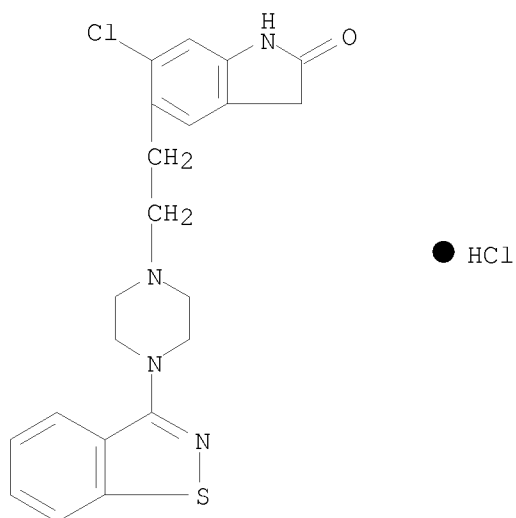
LA English

AB Ziprasidone is a typical antipsychotic agent. Two simple, sensitive and accurate spectrophotometric methods were developed for the determination of ziprasidone hydrochloride (ZPD) in pure state and in its pharmaceutical formulations. The developed Method A is based on the oxidation of the drug with Fe(III) and subsequent chelation of Fe(II) produced with 2,21 Bipyridyl to produce colored chromogen having maximum absorption at λ_{max} 510 nm and linearity in the range of 40-200 $\mu\text{g/mL}$. Method B involves oxidation followed by complex formation of the drug with bathophenanthroline and it exhibits maximum absorption at λ_{max} 630 nm; linearity in the range of 4-20 $\mu\text{g/mL}$. The results obtained were statistically evaluated and were found to be accurate and reproducible.

IT 122883-93-6, Ziprasidone hydrochloride
 RL: ANT (Analyte); ANST (Analytical study)
 (ziprasidone HCl in pharmaceuticals determined by visible spectroscopy)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2010:1024987 CAPLUS

DN 153:270088

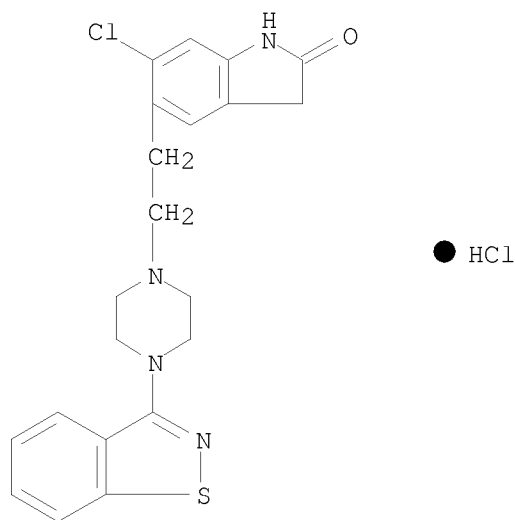
TI Determination of ziprasidone in bulk and pharmaceutical dosage forms

AU Sreelakshmi, A.; Devala Rao, G.; Sudhakara Sai Babu, G.

CS Department Of Biotechnology, Montessori Mahila Kalasala, Vijayawada, 520 010, India

SO Journal of Ultra Chemistry (2010), 6(1), 118-122
 CODEN: JUCOAL; ISSN: 0973-3450

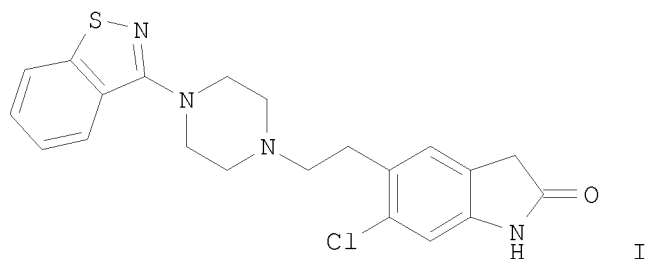
PB Journal of Ultra Chemistry
 DT Journal
 LA English
 AB Ziprasidone is a typical antipsychotic agent. Two simple, sensitive and accurate spectrophotometric methods were developed for the determination of ziprasidone hydrochloride (ZPD) in pure state and in its pharmaceutical dosage forms. The developed Method A is based on the formation of picrate salt between picric acid and free base of ziprasidone and it shows maximum absorption at λ max 400 nm and linearity in the range of 4-20 μ g/mL. Method B involves reaction between free base of ziprasidone and chloranilic acid. The developed chromogen in Method B shows maximum absorption at λ max 520 nm and linearity in the range of 16-36 μ g/mL. The results obtained were statistically evaluated and were found to be accurate and reproducible.
 IT 122883-93-6, Ziprasidone hydrochloride
 RL: ANT (Analyte); ANST (Analytical study)
 (ziprasidone hydrochloride in pharmaceuticals determined by UV-vis. spectroscopy)
 RN 122883-93-6 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
 AN 2010:814973 CAPLUS
 DN 153:174998
 TI Process for the preparation of ziprasidone and its acid salts
 IN Shah, Niraj Shyamlal; Dwivedi, Shriprakash Dhar
 PA Cadila Healthcare Limited, India
 SO PCT Int. Appl., 24pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2010073255	A1	20100701	WO 2008-IN858	20081223
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	CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,				
	FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,				
	KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,				
	ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,				
	PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ,				
	TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW:				
	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,				
	IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,				
	TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,				
	TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,				
	AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI	WO 2008-IN858		20081223		
OS	CASREACT 153:174998				
GI					



AB The invention relates to an improved process for preparing ziprasidone (I) and its acid salts. The invention particularly provides a method for purifying ziprasidone base thereby providing substantially pure ziprasidone and its acid salts and hydrates. Ziprasidone (I) was prepared by alkylation of 3-(1-piperazinyl)-1,2-benzisothiazole hydrochloride with 6-(chloro)-5-(2-chloroethyl)oxindole. Furthermore ziprasidone was converted to ziprasidone hydrochloride monohydrate by addition of HCl.

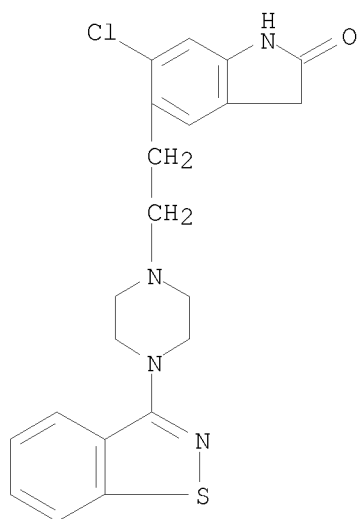
IT 146939-27-7P, Ziprasidone

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

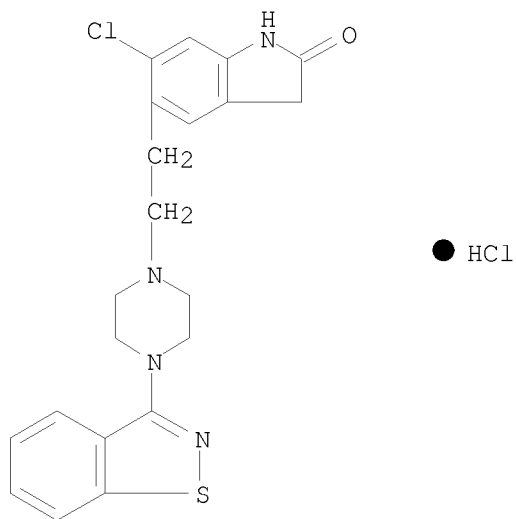
(process for the preparation of ziprasidone hydrochloride salt via N-alkylation of benzoisothiazolylpiperazine hydrochloride with chloro(chloroethyl)oxindole followed by addition of HCl)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)

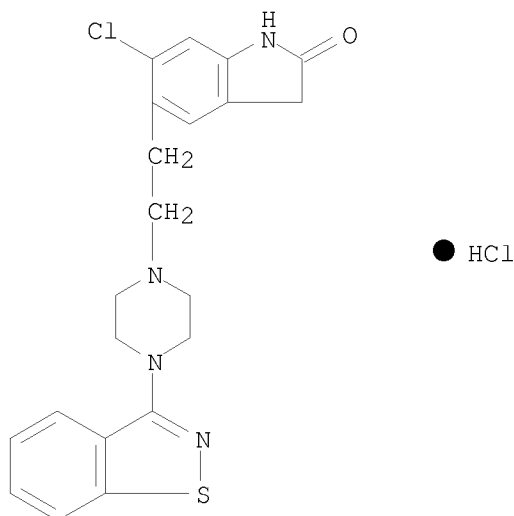


IT 122883-93-6P, Ziprasidone hydrochloride 138982-67-9P
 , Ziprasidone hydrochloride monohydrate
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (process for the preparation of ziprasidone hydrochloride salt via
 N-alkylation of benzoisothiazolylpiperazine hydrochloride with
 chloro(chloroethyl)oxindole followed by addition of HCl)
 RN 122883-93-6 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-
 chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



RN 138982-67-9 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-
 chloro-1,3-dihydro-, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

● H₂O

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
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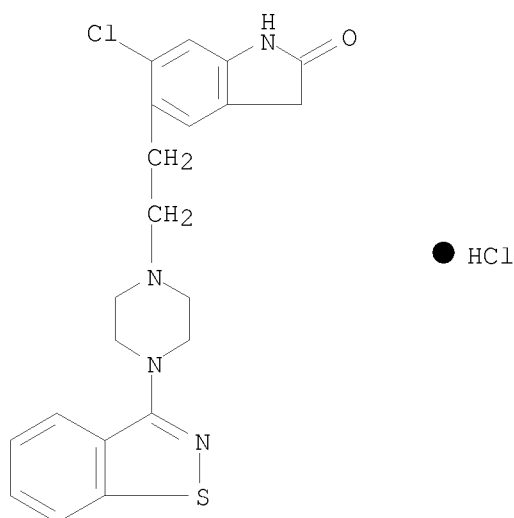
L6 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
 AN 2010:358761 CAPLUS
 TI New visible spectrophotometric methods for estimation of ziprasidone in
 pharmaceutical formulations
 AU Sreelakshmi, A.; Devala Rao, G.; Sudhakara Sai Babu, G.
 CS Department of Biotechnology, Montessori Mahila Kalasala, Andhra Pradesh,
 520 010, India
 SO Journal of Ultra Chemistry (2009), 5(3), 422-426
 CODEN: JUCOAL; ISSN: 0973-3450
 PB Journal of Ultra Chemistry
 DT Journal
 LA English
 AB Ziprasidone is a typical antipsychotic agent. Two simple, sensitive and
 accurate spectrophotometric methods have been developed for the determination
 of
 ziprasidone hydrochloride (ZPD) in pure state and in its
 pharmaceutical formulations. The developed Method A is based on the
 diazocoupling reaction of the Brotton-Marshall's reagent with drug and it
 shows maximum absorption at max 540 nm; linearity in the range of 2-10
 µg/mL. Method B is based on the reaction between drug and 1,
 10-phenanthroline with ferric chloride and orthophosphoric acid to form a
 colored chromogen and it shows maximum absorption at λ_{max} 520 nm and
 linearity in the range of 4-20 µg/mL. The results obtained were
 statistically evaluated and were found to be accurate and reproducible.
 IT 122883-93-6, Ziprasidone hydrochloride
 RL: ANT (Analyte); THU (Therapeutic use); ANST (Analytical study); BIOL

(Biological study); USES (Uses)

(simple, sensitive and accurate visible spectrophotometric method was effective for estimation of ziprasidone hydrochloride in pharmaceutical formulation and bulk drug)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2010:135631 CAPLUS

DN 152:177366

TI High performance liquid chromatographic estimation of ziprasidone in pharmaceutical dosage forms

AU Prasanthi, N. L.; Rama Rao, N.

CS Chalapathi Institute of Pharmaceutical Sciences, Guntur, 522034, India

SO International Journal of Pharmacy and Pharmaceutical Sciences (2010), 2(Suppl. 1), 120-122

CODEN: IJPPKB; ISSN: 0975-1491

URL: <http://www.ijppsjournal.com/Vol2Suppl1/421.pdf>

PB International Journal of Pharmacy and Pharmaceutical Sciences

DT Journal; (online computer file)

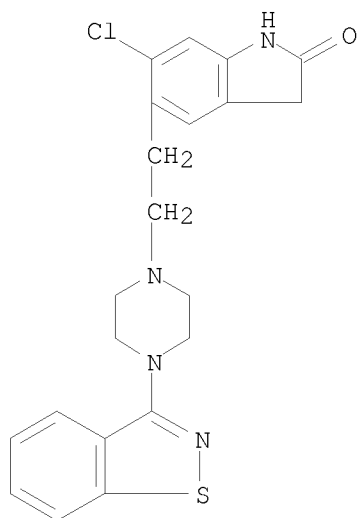
LA English

AB A simple, sensitive and rapid reverse phase high performance liquid chromatog. method was developed for the estimation of ziprasidone HCl (ZPR) in pure and in pharmaceutical dosage forms. Phenomex C18 column (250 + 4.6 mm, 5 μ) was used with a mobile phase containing a mixture of 0.02 M KH₂PO₄ (pH-3), methanol and acetonitrile in the ratio of 40:30:30. The flow rate was 1.5 mL/min and effluents were monitored at 219 nm and eluted at 3.37 min. Calibration curve was plotted with a range from 10-50 μ g/mL. The assay was validated for the parameters like accuracy, precision, robustness, and system suitability parameters. The proposed method can be useful in the routine anal. for the determination of ziprasidone

in

10/598370

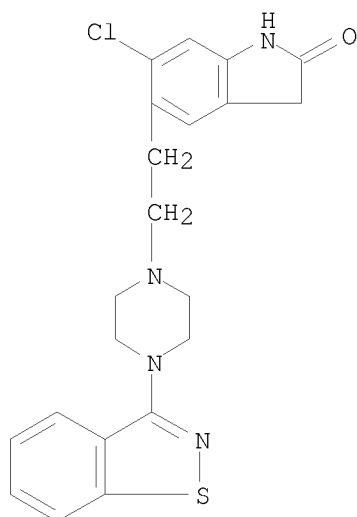
pharmaceutical dosage forms.
IT 146939-27-7, Ziprasidone
RL: ANT (Analyte); ANST (Analytical study)
(RP-HPLC determination of ziprasidone in pharmaceutical dosage forms)
RN 146939-27-7 CAPLUS
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:1022000 CAPLUS
DN 151:230287
TI Stability indicating methods for determination of ziprasidone hydrochloride
AU Abbas, Samah Sayed; Zaazaa, Hala El-Sayed; El-Ghobashy, Mohamed Refaat; Fayez, Yasmin Mohammed; abdel Fattah, Soheir
CS Analytical Chemistry Department, Faculty of Pharmacy, Cairo University, Cairo, 11562, Egypt
SO Analytical Chemistry: An Indian Journal (2009), 8(2), 255-264
CODEN: ACNHAY; ISSN: 0974-7419
PB Trade Science Inc.
DT Journal
LA English
AB The development and validation of a quant. anal. method for determination of Ziprasidone Hydrochloride (ZIP) in pure form and pharmaceutical product using ratio subtraction, first derivative ratio, TLC-densitometry and multivariate calibration techniques were presented. The proposed methods are accurate, precise, sensitive, and selective and can be used in quality control labs.
IT 146939-27-7, Ziprasidone
RL: ANT (Analyte); ANST (Analytical study)
(determination of ziprasidone by TLC)
RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:126376 CAPLUS
DN 148:175836
TI Methods and compositions of gene delivery to epithelial cells through bile acid peptide conjugate delivery agents for systemic and local therapy
IN Hilfinger, John; Kish, Phillip; Roessler, Blake
PA USA
SO U.S. Pat. Appl. Publ., 49pp., Cont.-in-part of U.S. Ser. No. 706,738.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080026077	A1	20080131	US 2006-608370	20061208
	US 20050026859	A1	20050203	US 2003-706738	20031112
PRAI	US 2002-425379P	P	20021112		
	US 2003-706738	A2	20031112		
	US 2005-748390P	P	20051208		

OS MARPAT 148:175836

AB A method is provided for the delivery of a therapeutic to epithelial cells through the use of a bile acid conjugated to a peptide, the peptide being ionically charged at physiol. pH. The complex is well suited for oral and other forms of therapeutic administration of therapeutic drugs known in the art in order to exact systemic and/or localized effect. Intestinal epithelial cells, as well as non-epithelial cells within the gastrointestinal tract and other target cells receive with greater efficiency a charged therapeutic when delivered with an oppositely charged bile acid conjugate (BAC) through oral administration, direct injection, or infusive administrations, thereby increasing bioavailability. Thus,

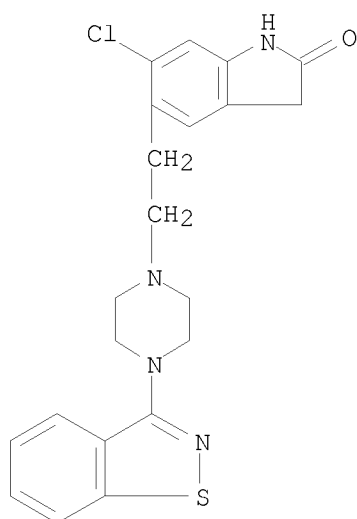
BAC was synthesized by solid phase chemical: a six L-arginine peptide was first synthesized on the resin bed using standard 9-fluorenylmethoxycarbonyl (Fmoc) chemical. To attach the bile acid salt, an excess of chenodeoxycholic acid was added to the resin and allowed to react with the immobilized peptide; after conjugation, the N-hexapeptide chenodeoxycholamide BAC was cleaved from the resin and purified to greater than 95% purity by HPLC.

IT 146939-27-7, Ziprasidone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods and compns. of gene delivery to epithelial cells through bile acid peptide conjugate delivery agents for systemic and local therapy)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



L6 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2007:1278487 CAPLUS

DN 147:502394

TI Novel process for production of 5-{2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]-ethyl}-6-chloro-1,3-dihydro-2h-indol-2-one (ziprasidone)

IN Neu, Jozsef; Toerley, Jozsef; Garadnay, Sandor

PA Richter Gedeon Nyrt., Hung.

SO PCT Int. Appl., 10 pp.

CODEN: PIXXD2

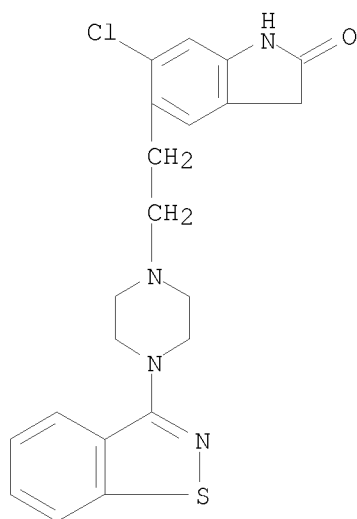
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007125374	A2	20071108	WO 2007-HU38	20070502
	WO 2007125374	A3	20080103		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK,			

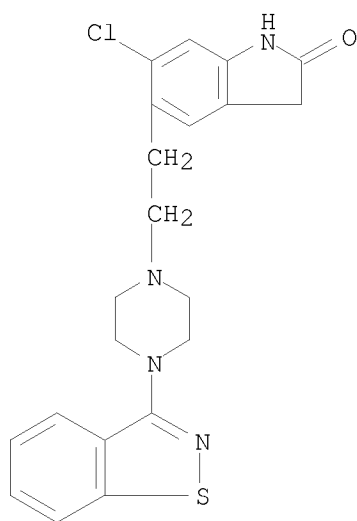
MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
 RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
 HU 2006000347 A2 20080929 HU 2006-347 20060502
 HU 2006000347 A3 20081028
 CA 2649374 A1 20071108 CA 2007-2649374 20070502
 EP 2013203 A2 20090114 EP 2007-733855 20070502
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR,
 AL, BA, HR, MK, RS
 CN 101437817 A 20090520 CN 2007-80015835 20081031
 US 20090111988 A1 20090430 US 2008-298590 20081124
 IN 2008KN04825 A 20090320 IN 2008-KN4825 20081128
 PRAI HU 2006-347 A 20060502
 WO 2007-HU38 W 20070502
 ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OS CASREACT 147:502394
 AB The present invention provides a novel, industrially easily realisable and
 economically preferable process for production of pure
 5-{2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]-ethyl}-6-chloro-1,3-
 dihydro-2H-indol-2-one i.e., ziprasidone hydrochloride. According to the
 invention the intermediate compound 5-(2-bromoethyl)-6-chloro-1,3-dihydro-2H-
 indol-2-one is produced from 5-(2-bromoacetyl)-6-chloro-1,3-dihydro-2H-
 indole-2-one. The highly pure ziprasidone base is obtained in
 the reaction of 3-piperazinyl-1,2-benzisothiazol with
 5-(2-bromoethyl)-6-chloro-1,3-dihydro-2H-indol-2-one in an organic solvent or
 organic solvent mixture
 IT 146939-27-7P, 5-[2-[4-(1,2-Benzisothiazol-3-yl)-1-
 piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
 (Preparation)
 (preparation of 5-{2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]-ethyl}-6-
 chloro-1,3-dihydro-2h-indol-2-one (ziprasidone))
 RN 146939-27-7 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-
 chloro-1,3-dihydro- (CA INDEX NAME)



L6 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
 AN 2007:855888 CAPLUS
 DN 147:336088
 TI Action of novel antipsychotics at human dopamine D3 receptors coupled to G protein and ERK1/2 activation
 AU Bruins Slot, Liesbeth A.; Palmier, Christiane; Tardif, Stephanie; Cussac, Didier
 CS Department of Cellular and Molecular Biology, Centre de Recherche Pierre Fabre, Castres, F 81106, Fr.
 SO Neuropharmacology (2007), 53(2), 232-241
 CODEN: NEPHBW; ISSN: 0028-3908
 PB Elsevier B.V.
 DT Journal
 LA English
 AB The effects of new generation antipsychotic drugs (APDs) targeting dopamine D2 and serotonin 5-HT1A receptors were compared with typical and atypical APDs on phosphorylation of extracellular signal-regulated kinase 1/2 (ERK 1/2) and measures of G protein activation in CHO cell lines stably expressing the human dopamine D3 receptor. The preferential dopamine D3 agonists (+)-7-OH-DPAT and PD128907, like dopamine and quinolorane, efficaciously stimulated ERK 1/2 phosphorylation at dopamine D3 receptors. In contrast, in [35S]GTPγS binding expts., (+)-7-OH-DPAT exhibited partial agonist properties, while PD128907 and quinolorane maintained full agonist properties. The preferential dopamine D3 ligand BP 897 and the antidyskinetic sarizotan partially activated ERK 1/2 phosphorylation while exerting no agonist activity on GTPγS binding, suggesting signal amplification at the MAP kinase level. Antipsychotics differed in their ability to inhibit both agonist-stimulated GTPγS binding and ERK 1/2 phosphorylation, but all typical and atypical compds. tested acted as dopamine D3 receptor antagonists with the exception of n-desmethyloclozapine, the active metabolite of clozapine, which partially activated dopamine D3 receptor-mediated ERK 1/2 phosphorylation. Among the new generation dopamine D2/serotonin 5-HT1A antipsychotics, only F 15063 and SLV313 acted as pure dopamine D3 receptor antagonists, bifeprunox was highly

efficacious whereas SSR181507 and aripiprazole showed marked partial agonist properties for ERK 1/2 phosphorylation. In contrast, in the GTP γ S binding study, aripiprazole was devoid of agonist properties and bifeprunox, and to an even lesser extent SSR181507, only weakly stimulated GTP γ S binding. In summary, these findings underline the differences of dopamine D3 properties of new generation antipsychotics which may need to be considered in understanding their diverse therapeutic actions.

IT 146939-27-7, Ziprasidone
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (action of novel antipsychotics at human dopamine D3 receptors coupled to G protein and ERK1/2 activation)
 RN 146939-27-7 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)

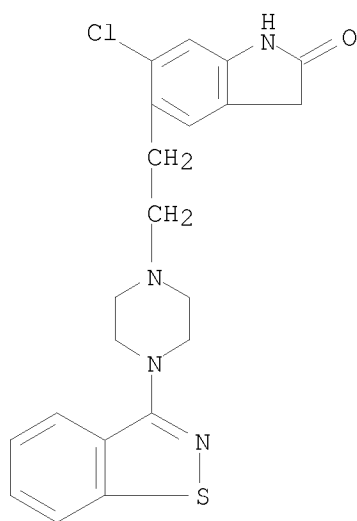


OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
 RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
 AN 2007:795480 CAPLUS
 DN 147:211912
 TI Preparation of ziprasidone
 IN Tang, Chaojun; Yao, Chengzhi
 PA Hangzhou Shengmei Pharmaceutical Co., Ltd., Peop. Rep. China
 SO Faming Zhuanli Shenqing Gongkai Shuomingshu, 12pp.
 CODEN: CNXXEV
 DT Patent
 LA Chinese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	CN 1995038	A	20070711	CN 2006-10125949	20060823
	CN 100491375	C	20090527		

PRAI CN 2006-10052312 A 20060701
 OS CASREACT 147:211912; MARPAT 147:211912
 AB Said method preps. ziprasidone by reduction of
 5-(2-(4-(1,2-benzisothiazol-3-yl)piperazinyl)acetyl)-6-chloro-1,3-dihydro-
 2H-indol-2-one in organic acid solvent with reducing agent. Said solvent is
 trichloroacetic acid, trifluoroacetic acid, or trichloropropionic acid.
 Said reducing agent is trimethylsilane or triethylsilane. The benefits of
 the method is: high yield, over 85%, simple operation, short reaction
 time, greatly reduced costs, and produces high purity product
 with only adjustment of pH, and facilitates industrial production
 IT 146939-27-7P, Ziprasidone
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of ziprasidone)
 RN 146939-27-7 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-
 chloro-1,3-dihydro- (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L6 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
 AN 2007:606514 CAPLUS
 DN 147:23573
 TI Treatment approach in case of Co-morbidity of obsessive-compulsive
 disorder in schizophrenia: efficacy and side effects of clozapine and
 chlorimipramine
 AU Papazisis, G.; Mastrogiani, A.; Katsigiannopoulos, K.; Karastergiou, A.
 CS D'Acute Ward, Psychiatric Hospital of Thessaloniki, Greece
 SO Epitheorese Klinikes Farmakologias kai Farmakokinetikes (2007), 25(1),
 86-88
 CODEN: EKFFEO; ISSN: 1011-6575
 PB Pharmakon-Press
 DT Journal
 LA Greek
 AB Treatment of OCD in comorbidity with schizophrenia is a therapeutic
 challenge because these disorders have notable neurobiol. and
 neuroanatomical areas of overlap. Atypical antipsychotics have a

paradoxical efficacy in pure OCD but there are some case reports of exacerbation of OCD in schizophrenic patients with comorbid OCD. In our case report a young man who was diagnosed with both schizophrenia (undifferentiated type, continuous) and obsessive - compulsive disorder (Y-BOCS score: 27) was treated with a combination of antipsychotic and antidepressant medication in high daily doses. Clozapine, an atypical antipsychotic, successfully reduced the psychotic symptoms but in doses over 400 mg/day was worsening the OCD (Y-BOCS score 31). Chlorimipramine is suggested to be effective in OCD in doses over 225 mg/day but in our case doses over 150 mg/day resulted to a rapid deterioration of psychotic symptoms. Thus a second atypical antipsychotic (ziprasidone at 160 mg/day) was added in the treatment and a lessening of both the psychotic and the compulsive symptoms to a more tolerable level has been achieved. Clozapine demonstrates binding affinity and antagonism for the D2 dopamine receptors but also for the 5-HT_{2A} and 5-HT_{2C} receptor. The serotonergic (5-HT) system is known to play a major role in OCD, and anti-depressants are effective agents in the treatment of OCD. The finding that long term clozapine use blocks 5-HT_{2C} receptors leads to the hypothesis that supersensitivity of the 5-HT_{2C} receptor may be responsible for clozapine-induced OCD. The paradox is the efficacy of clozapine in the treatment of pure OCD without psychotic symptoms. Thus, patients with comorbid OCD and Schizophrenia may represent a special subtype of schizophrenia population, the schizo-obsessive subtype, which requires distinct therapeutic approaches.

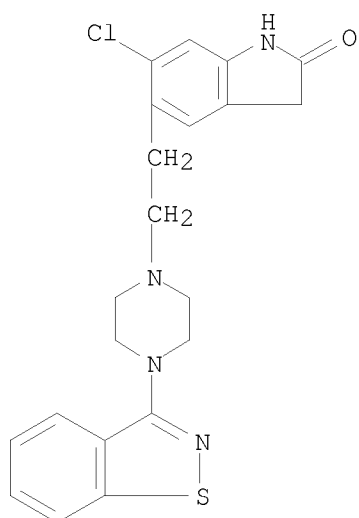
IT 146939-27-7, Ziprasidone

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(efficacy and side effects of clozapine and chlorimipramine for treatment of schizo-obsessive disorder)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



L6 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:146409 CAPLUS

DN 146:212863
 TI Thin-film drug delivery device
 IN Hale, Ron L.; Lu, Amy T.; Myers, Daniel J.; Rabinowitz, Joshua D.;
 Wensley, Martin J.
 PA USA
 SO U.S. Pat. Appl. Publ., 74pp., Cont.-in-part of U.S. Ser. No. 322,227,
 abandoned.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 39

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	US 20070031340	A1	20070208	US 2003-633877	20030804
	US 7585493	B2	20090908		
	US 20030051728	A1	20030320	US 2001-57198	20011026
	US 7766013	B2	20100803	US 2001-57197	20011026
	US 20030015197	A1	20030123	US 2002-146088	20020513
	US 7537009	B2	20090526		
	US 20030017115	A1	20030123	US 2002-146516	20020513
	US 6737042	B2	20040518		
	US 20030035776	A1	20030220	US 2002-146515	20020513
	US 6682716	B2	20040127		
	US 20030209240	A1	20031113	US 2002-146086	20020513
	US 20030007933	A1	20030109	US 2002-150267	20020515
	US 6797259	B2	20040928		
	US 20030007934	A1	20030109	US 2002-150268	20020515
	US 6780399	B2	20040824		
	US 20030091511	A1	20030515	US 2002-150056	20020515
	US 6805853	B2	20041019		
	US 20030017117	A1	20030123	US 2002-151596	20020516
	US 6855310	B2	20050215		
	US 20030206869	A1	20031106	US 2002-151626	20020516
	US 6783753	B2	20040831		
	US 20030017116	A1	20030123	US 2002-150857	20020517
	US 6716415	B2	20040406		
	US 20030021753	A1	20030130	US 2002-150591	20020517
	US 6780400	B2	20040824		
	US 20030005924	A1	20030109	US 2002-152652	20020520
	US 6740307	B2	20040525		
	US 20030012740	A1	20030116	US 2002-153139	20020520
	US 6814954	B2	20041109		
	US 20030017118	A1	20030123	US 2002-152639	20020520
	US 6716416	B2	20040406		
	US 20030021754	A1	20030130	US 2002-152640	20020520
	US 6743415	B2	20040601		
	US 20030012737	A1	20030116	US 2002-153311	20020521
	US 6884408	B2	20050426		
	US 20030015189	A1	20030123	US 2002-153831	20020521
	US 6740308	B2	20040525		
	US 20030017119	A1	20030123	US 2002-153839	20020521
	US 6776978	B2	20040817		
	US 20030032638	A1	20030213	US 2002-153313	20020521
	US 20030005925	A1	20030109	US 2002-155621	20020522
	US 6759029	B2	20040706		
	US 20030012738	A1	20030116	US 2002-155373	20020522
	US 6737043	B2	20040518		

US 20030017120	A1	20030123	US 2002-155703	20020522
US 6803031	B2	20041012		
US 20030021755	A1	20030130	US 2002-155705	20020522
US 6805854	B2	20041019		
US 20030000518	A1	20030102	US 2002-155097	20020523
US 6716417	B2	20040406		
US 20030015190	A1	20030123	US 2002-154594	20020523
US 6740309	B2	20040525		
US 20030017114	A1	20030123	US 2002-154765	20020523
US 6814955	B2	20041109		
US 20030118512	A1	20030626	US 2002-280315	20021025
US 20030138382	A1	20030724	US 2002-302010	20021121
US 7078016	B2	20060718		
US 20030138508	A1	20030724	US 2002-322227	20021217
EP 2052753	A1	20090429	EP 2009-2094	20030513
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
IT, LI, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CN 101623528	A	20100113	CN 2009-10166782	20030513
US 20040099269	A1	20040527	US 2003-718982	20031120
US 7090830	B2	20060815		
US 20040126326	A1	20040701	US 2003-734902	20031212
US 7029658	B2	20060418		
US 20040127481	A1	20040701	US 2003-735198	20031212
US 7008615	B2	20060307		
US 20040126327	A1	20040701	US 2003-735199	20031212
US 7070761	B2	20060704		
US 20040127490	A1	20040701	US 2003-735495	20031212
US 7018619	B2	20060328		
US 20040126329	A1	20040701	US 2003-735497	20031212
US 7070762	B2	20060704		
US 20040156788	A1	20040812	US 2003-749535	20031230
US 7115250	B2	20061003		
US 20040156789	A1	20040812	US 2003-749536	20031230
US 7094392	B2	20060822		
US 20040156790	A1	20040812	US 2003-749783	20031230
US 7078019	B2	20060718		
US 20040156791	A1	20040812	US 2003-750303	20031230
US 7078020	B2	20060718		
US 20050075273	A1	20050407	US 2003-749539	20031230
US 7078018	B2	20060718		
US 20050089479	A1	20050428	US 2003-749537	20031230
US 7078017	B2	20060718		
US 20040184996	A1	20040923	US 2004-766279	20040127
US 7087217	B2	20060808		
US 20040191179	A1	20040930	US 2004-766566	20040127
US 7060254	B2	20060613		
US 20040191181	A1	20040930	US 2004-766634	20040127
US 7070763	B2	20060704		
US 20040191182	A1	20040930	US 2004-766647	20040127
US 7070764	B2	20060704		
US 20040228807	A1	20041118	US 2004-766149	20040127
US 7087216	B2	20060808		
US 20040184997	A1	20040923	US 2004-767115	20040128
US 7052679	B2	20060530		
US 20040184998	A1	20040923	US 2004-768205	20040129
US 7070765	B2	20060704		
US 20040184999	A1	20040923	US 2004-768220	20040129

US 7063830	B2	20060620		
US 20040185000	A1	20040923	US 2004-768293	20040129
US 7067114	B2	20060627		
US 20040185003	A1	20040923	US 2004-769157	20040129
US 7060255	B2	20060613		
US 20040185004	A1	20040923	US 2004-769197	20040129
US 7063831	B2	20060620		
US 20040202617	A1	20041014	US 2004-768281	20040129
US 7169378	B2	20070130		
US 20040185001	A1	20040923	US 2004-769046	20040130
US 7070766	B2	20060704		
US 20040185002	A1	20040923	US 2004-769051	20040130
US 7033575	B2	20060425		
US 20040161385	A1	20040819	US 2004-775586	20040209
US 7048909	B2	20060523		
US 20040167228	A1	20040826	US 2004-775583	20040209
US 7018620	B2	20060328		
US 20040185005	A1	20040923	US 2004-813721	20040331
US 7022312	B2	20060404		
US 20040186130	A1	20040923	US 2004-813722	20040331
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US 20040191183	A1	20040930	US 2004-814690	20040331
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US 20040191184	A1	20040930	US 2004-814998	20040331
US 7108847	B2	20060919		
US 20040185006	A1	20040923	US 2004-815527	20040401
US 6994843	B2	20060207		
US 20040185007	A1	20040923	US 2004-816407	20040401
US 7011820	B2	20060314		
US 20040185008	A1	20040923	US 2004-816567	20040401
US 7052680	B2	20060530		
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US 7008616	B2	20060307		
US 20060153779	A1	20060713	US 2006-370628	20060307
US 7442368	B2	20081028		
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US 7449172	B2	20081111		
US 20060216243	A1	20060928	US 2006-439475	20060523
US 7465435	B2	20081216		
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US 20060246011	A1	20061102	US 2006-479361	20060630
US 7524484	B2	20090428		
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US 7507398	B2	20090324		
US 20060251587	A1	20061109	US 2006-479892	20060630
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US 20060257328	A1	20061116	US 2006-488302	20060718
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US	7601337	B2	20091013			
US	20060269487	A1	20061130	US	2006-501246	20060807
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US	7445768	B2	20081104			
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US	7491047	B2	20090217			
US	20070014737	A1	20070118	US	2006-523685	20060919
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US	7468179	B2	20081223			
US	20070286816	A1	20071213	US	2007-744799	20070504
AU	2008200911	A1	20080320	AU	2008-200911	20080227
US	20080175796	A1	20080724	US	2008-57330	20080327
US	20080311176	A1	20081218	US	2008-117737	20080508
US	20090246147	A1	20091001	US	2009-413339	20090327
US	20100181387	A1	20100722	US	2009-628949	20091201
PRAI	US 2001-57197	A2	20011026			
	US 2001-57198	A2	20011026			
	US 2001-332279P	P	20011121			
	US 2001-332280P	P	20011121			
	US 2001-342066P	P	20011218			
	US 2002-371457P	P	20020409			
	US 2002-146080	A2	20020513			
	US 2002-146086	A2	20020513			
	US 2002-146088	A2	20020513			
	US 2002-146515	A2	20020513			
	US 2002-146516	A2	20020513			
	US 2002-150056	A2	20020515			
	US 2002-150267	A2	20020515			
	US 2002-150268	A2	20020515			
	US 2002-151596	A2	20020516			
	US 2002-151626	A2	20020516			
	US 2002-150591	A2	20020517			
	US 2002-150857	A2	20020517			
	US 2002-152639	A2	20020520			
	US 2002-152640	A2	20020520			
	US 2002-152652	A2	20020520			
	US 2002-153139	A2	20020520			
	US 2002-153311	A2	20020521			
	US 2002-153313	B2	20020521			
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	US 2002-153839	A2	20020521			
	US 2002-155373	A2	20020522			
	US 2002-155621	A2	20020522			
	US 2002-155703	A2	20020522			
	US 2002-155705	A2	20020522			
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	US 2002-155097	A2	20020523			
	US 2002-412068P	P	20020918			
	US 2002-280315	B2	20021025			
	US 2002-302010	A2	20021121			
	US 2002-302614	A2	20021121			

US 2002-322227	B2	20021217
US 2001-294203P	P	20010524
US 2001-296225P	P	20010605
US 2001-317479P	P	20010905
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US 2001-336218P	P	20011030
US 2001-345145P	P	20011109
US 2001-345876P	P	20011109
US 2001-345882P	P	20011109
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US 2002-57098	A2	20020123
AU 2002-303833	A3	20020521
CN 2003-814156	A3	20030513
EP 2003-734014	A3	20030513
US 2003-633876	A2	20030804
US 2003-633877	A2	20030804
US 2003-718982	A1	20031120
US 2003-734902	A1	20031212
US 2003-735198	A1	20031212
US 2003-735199	A1	20031212
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US 2003-735497	A1	20031212
US 2003-749535	A1	20031230
US 2003-749536	A1	20031230
US 2003-749537	A1	20031230
US 2003-749539	A1	20031230
US 2003-749783	A1	20031230
US 2003-750303	A1	20031230
US 2004-766149	A1	20040127
US 2004-766279	A1	20040127
US 2004-766566	A1	20040127
US 2004-766634	A1	20040127
US 2004-766647	A1	20040127
US 2004-768220	A1	20040129
US 2004-768281	A1	20040129
US 2004-769157	A1	20040129
US 2004-769046	A1	20040130
US 2004-775586	A1	20040209
US 2004-813721	A1	20040331
US 2004-814998	A1	20040331
US 2004-816492	A1	20040401
US 2004-816567	A1	20040401
US 2005-283414	B1	20051117
US 2006-488932	A1	20060718
US 2006-488943	B1	20060718
US 2006-460530	B1	20060727
US 2006-504419	A1	20060815
US 2007-687466	B1	20070316

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB An article for use in an aerosol device, for producing an aerosol of a drug composition is disclosed. The article includes a heat-conductive substrate having a surface with a selected surface area, and a drug composition film on the substrate surface having a selected film thickness of 0.05-20 μm . The film thickness is such that an aerosol formed by vaporizing the drug composition by heating the substrate and condensing the vaporized compound contains $\leq 10\%$ drug-degradation product and at $\geq 50\%$ of the

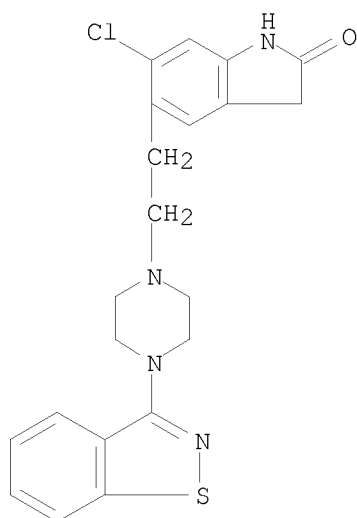
total amount of drug composition contained in the film. The selected substrate surface area is such as to yield an effective human therapeutic dose of the drug aerosol. Also disclosed are methods of making and using the article. Betahistine was coated on a metal substrate and heated to 300° to form drug-aerosol particles. Purity of the drug-aerosol particles was determined to be 99.3%.

IT 146939-27-7, Ziprasidone

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(thin-film drug delivery device)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



OSC.G 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

L6 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2006:1313532 CAPLUS

DN 146:50578

TI UV spectrophotometric determination of ziprasidone hydrochloride in pure and pharmaceutical formulation

AU Chauhan, C. S.; Choudhury, P. K.

CS Department of Pharmacy, B.N. College of Pharmacy, Udaipur, 313 005, India

SO Asian Journal of Chemistry (2007), 19(1), 819-820

CODEN: AJCHEW; ISSN: 0970-7077

PB Asian Journal of Chemistry

DT Journal

LA English

AB Simple and sensitive method was developed for determination of ziprasidone hydrochloride monohydrate (ZPH) in both pure and pharmaceutical formulation. This method obeys Beer's law in the concentration range of 10-70 µg/mL, exhibiting maximum absorption at 318 nm. In this method no interference from the common pharmaceutical excipients was observed

IT 138982-67-9, Ziprasidone hydrochloride monohydrate

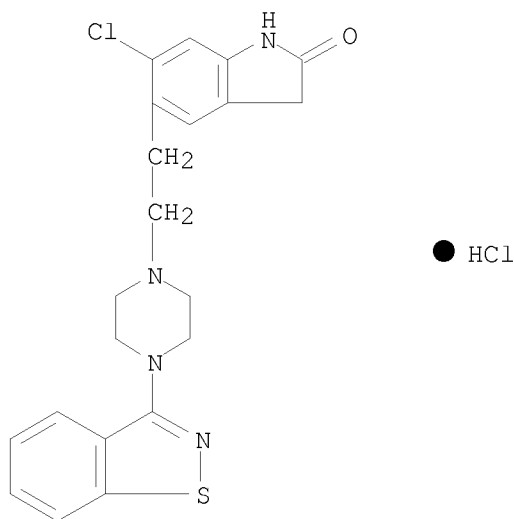
RL: ANT (Analyte); ANST (Analytical study)

(UV spectrophotometric determination of ziprasidone HCl)

RN 138982-67-9 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

● H₂O

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
 AN 2006:952669 CAPLUS
 DN 145:321805
 TI Preparation of acid addition salts of ziprasidone and intermediates thereof by solid phase-gas phase reactions
 IN Rey, Allan W.; Derdour, Lofti; Murthy, K.S. Keshava; Datta, Probal Kanti; Ehlert, Martin; Horne, Stephen, E.
 PA Apotex Pharmachem Inc., Can.
 SO PCT Int. Appl., 21 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006094396	A1	20060914	WO 2006-CA338	20060310
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,				

SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
 VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM

CA 2500667 A1 20060911 CA 2005-2500667 20050311
 US 20060205947 A1 20060914 US 2005-168524 20050629
 US 7745624 B2 20100629
 EP 1856115 A1 20071121 EP 2006-705291 20060310

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRAI CA 2005-2500667 A 20050311
 WO 2006-CA338 W 20060310

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB A process for the preparation of an acid addition salt of ziprasidone base and intermediates thereof comprising exposing the ziprasidone base in solid form to a gaseous acid in a substantially dry environment. The process is solvent free and the gaseous acid is mixed with one or more inert gases. The process produces ziprasidone hydrochloride in high yield and purity and is reliable, consistent and suitable for large scale manufacturing. The process can also be used to prepare ziprasidone hydrobromide and ziprasidone acetate.

IT 122883-93-6P, Ziprasidone hydrochloride 909389-55-5P

, Ziprasidone hydrobromide 909389-56-6P

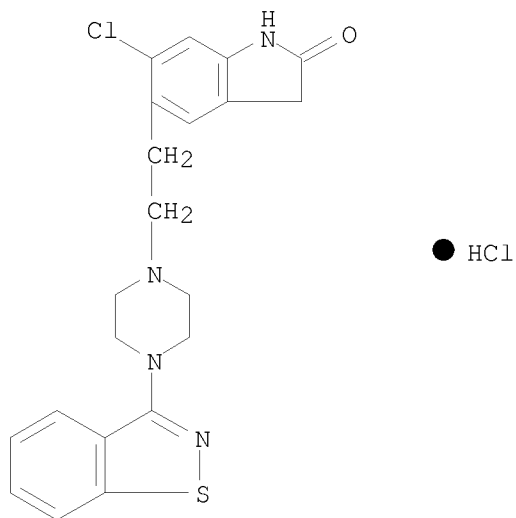
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of acid addition salts of ziprasidone and intermediates thereof by
 solid phase-gas phase reactions)

RN 122883-93-6 CAPLUS

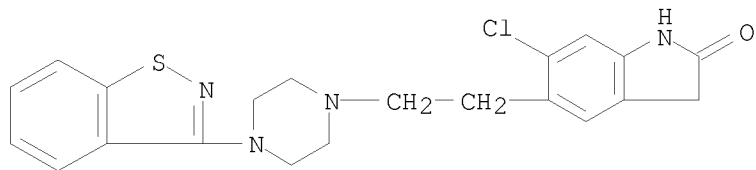
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



RN 909389-55-5 CAPLUS

10/598370

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrobromide (5:8) (CA INDEX NAME)



● 8/5 HBr

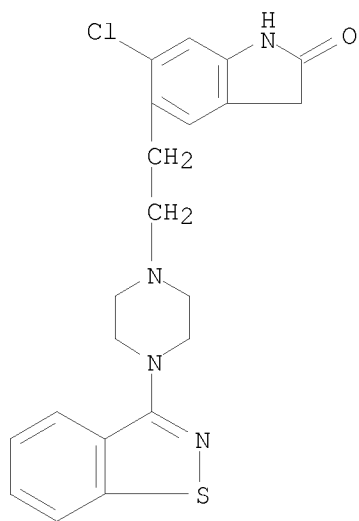
RN 909389-56-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, acetate (1:2) (CA INDEX NAME)

CM 1

CRN 146939-27-7

CMF C21 H21 Cl N4 O S

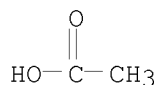


CM 2

CRN 64-19-7

CMF C2 H4 O2

10/598370



IT 146939-27-7, Ziprasidone

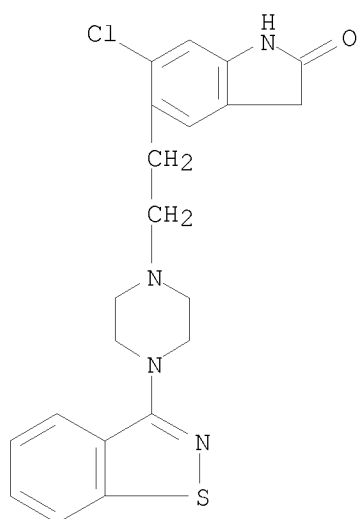
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of acid addition salts of ziprasidone and intermediates thereof by

solid phase-gas phase reactions)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2006:768275 CAPLUS

DN 145:188913

TI Process for preparing ziprasidone using silylated intermediates

IN Reddy, Bandi Parthasaradhi; Reddy, Kura Rathnakar; Reddy, Rapolu Raji;
Reddy, Dasari Muralidhara; Reddy, Itiyala Srinivas

PA Hetero Drugs Limited, India

SO PCT Int. Appl., 28pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2006080025	A1	20060803	WO 2005-IN30	20050127
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,			

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
 SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,
 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,
 KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG,
 KZ, MD, RU, TJ, TM

IN 2005CN00096 A 20070907 IN 2005-CN96 20050127

EP 1841764 A1 20071010 EP 2005-703249 20050127

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR

US 20090163513 A1 20090625 US 2006-596675 20060621

PRAI WO 2005-IN30 W 20050127

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 145:188913; MARPAT 145:188913

AB A process is described for the preparation of high-purity ziprasidone, pharmaceutically acceptable acid addition salts, solvates, and hydrates, using silylated intermediates, and a purification method is also presented. Thus, 1-(1,2-benzisothiazol-3-yl)piperazine is silylated with trimethylsilylchloride in methylene chloride in the presence of triethylamine and the solvent is distilled off to obtain silylated 1-(1,2-benzisothiazol-3-yl)piperazine. The silylated compound is reacted with 5-(2-chloroethyl)-6-chloro-oxindole in the presence of sodium carbonate to obtain ziprasidone.

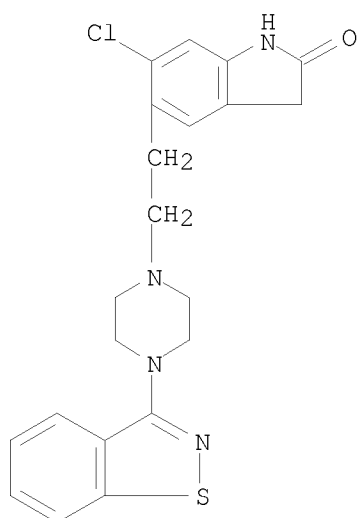
IT 146939-27-7P, Ziprasidone

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(process for preparing ziprasidone using silylated intermediates)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



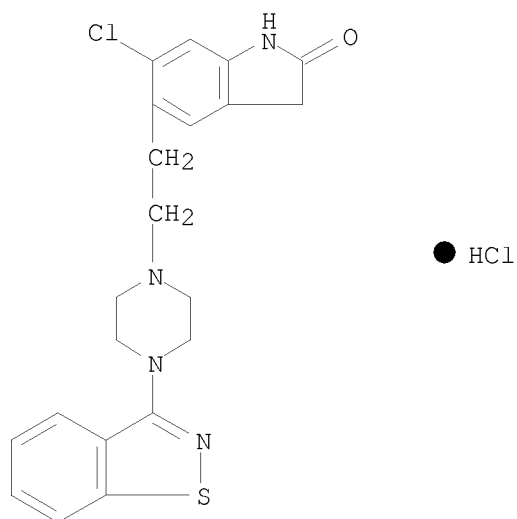
IT 122883-93-6P, Ziprasidone hydrochloride 138982-67-9P
 , Ziprasidone hydrochloride monohydrate 864175-99-5P,
 Ziprasidone hydrochloride hemihydrate

10/598370

RL: SPN (Synthetic preparation); PREP (Preparation)
(process for preparing ziprasidone using silylated intermediates)

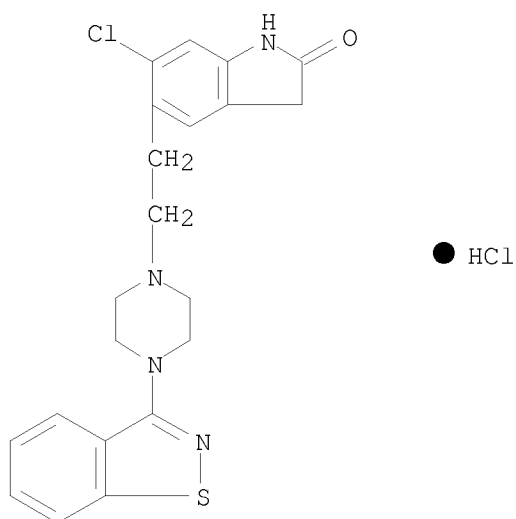
RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



RN 138982-67-9 CAPLUS

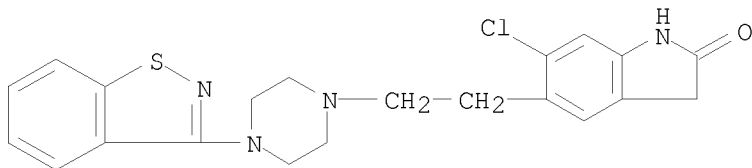
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)



PAGE 1-A

● H₂O

RN 864175-99-5 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (2:2:1) (CA INDEX NAME)



● HCl

● 1/2 H₂O

OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
 AN 2006:388767 CAPLUS
 DN 144:412547
 TI Process for the preparation of highly pure ziprasidone hydrochloride
 IN Venkataraman, Sundaram; Rao, Uppala Venkata Bhaskara; Muvva, Venkateswarlu; Chitta, Vijayawardhan
 PA Dr. Reddy's Laboratories Limited, India; Dr. Reddy's Laboratories, Inc.
 SO U.S. Pat. Appl. Publ., 14 pp.
 CODEN: USXXCO

DT Patent
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20060089502	A1	20060427	US 2005-259321	20051026
	US 7777037	B2	20100817		
	IN 2005CH01573	A	20070928	IN 2005-CH1573	20051028
PRAI	US 2004-622370P	P	20041027		
	US 2004-630757P	P	20041124		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 144:412547

AB A process for preparing ziprasidone hydrochloride, having low levels of keto ziprasidone and hydroxy ziprasidone impurities, comprises: (A) acylating

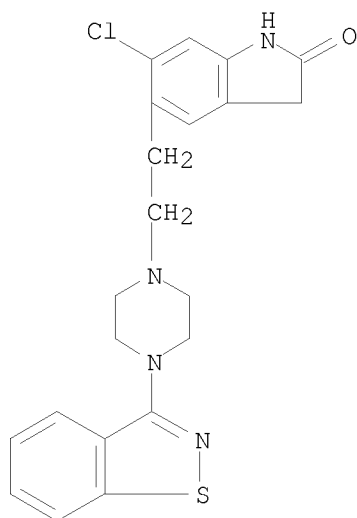
6-chloro-1,3-dihydro-2H-indol-2-one with chloroacetyl chloride to form 5-(2-chloroacetyl)-6-chloro-2-oxindole; (B) reducing 5-(2-chloroacetyl)-6-chloro-2-oxindole with an excess of triethylsilane in the presence of a strong acid to form a mixture of 5-(2-chloroethyl)-6-chlorooxindole, 5-(2-chloroacetyl)-6-chloro-2-oxindole, and 5-(2-chlorohydroxyethyl)-6-chlorooxindole; (C) condensing the mixture obtained in step (B) with 3-(1-piperazinyl)-1,2-benzisothiazole to form a mixture of ziprasidone and impurities; (D) purifying the ziprasidone by slurrying, recrystn., or a combination of the two methods; and (E) converting ziprasidone into ziprasidone hydrochloride by neutralization of the free base with HCl.

IT 146939-27-7P, Ziprasidone

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(in a process for the preparation of highly pure ziprasidone hydrochloride)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)

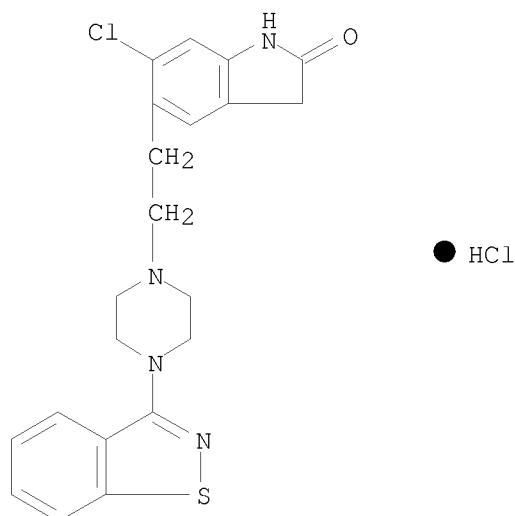


IT 122883-93-6P, Ziprasidone hydrochloride

RL: PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(process for the preparation of highly pure ziprasidone hydrochloride)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L6 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2005:1004739 CAPLUS

DN 143:286452

TI Condensation process for the preparation of ziprasidone base and its salts

IN Kumar, Yatendra; Prasad, Mohan; Khanna, Mahivir Singh; Ahuja, Seema

PA Ranbaxy Laboratories Limited, India

SO PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005085240	A2	20050915	WO 2005-IB512	20050228
	WO 2005085240	A3	20051201		
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	EP 1720867	A2	20061115	EP 2005-708625	20050228
	EP 1720867	B1	20091209		
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	AT 451367	T	20091215	AT 2005-708625	20050228
	PT 1720867	E	20100128	PT 2005-708625	20050228
	ES 2334800	T3	20100316	ES 2005-708625	20050228

	IN 2006DN05543	A	20070803	IN 2006-DN5543	20060922
	US 20080312254	A1	20081218	US 2008-598370	20080825
PRAI	IN 2004-DE307	A	20040227		
	IN 2004-DE1395	A	20040728		
	WO 2005-IB512	W	20050228		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 143:286452; MARPAT 143:286452

AB Substantially pure ziprasidone and its salts are prepared by the condensation of a 5-(2-leaving-group-substituted-ethyl)-6-chlorooxindole [e.g., 5-(2-chloroethyl)-6-chlorooxindole] with 1-(1,2-benzisothiazol-3-yl)piperazine in the presence of base, heating the mixture to approx. 50°, and isolating ziprasidone base. The preparation of acid addition salts of ziprasidone (e.g., ziprasidone hydrochloride) by neutralization is also described.

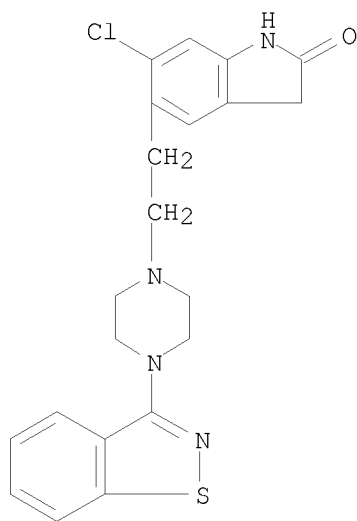
IT 146939-27-7P, Ziprasidone

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(condensation process for the preparation of ziprasidone base and its salts)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



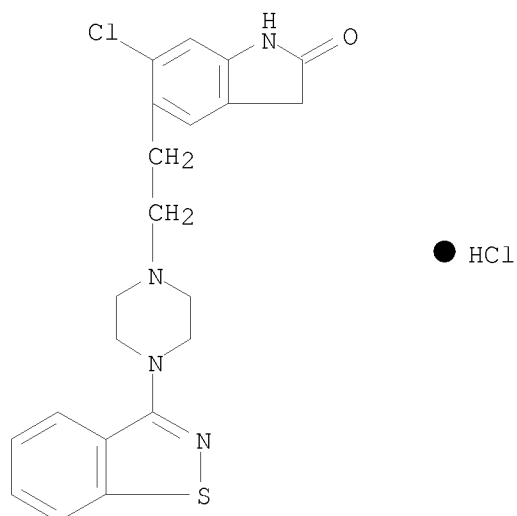
IT 122883-93-6P, Ziprasidone hydrochloride

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(condensation process for the preparation of ziprasidone base and its salts)

RN 122883-93-6 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2005:638720 CAPLUS

DN 143:139204

TI Ziprasidone formulations

IN Boehm, Garth; Dundon, Josephine

PA Alpharma, Inc., USA

SO PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005065660	A2	20050721	WO 2004-US43886	20041223
	WO 2005065660	A3	20070607		
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	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA			
	CA 2552126	A1	20050721	CA 2004-2552126	20041223
	US 20050163858	A1	20050728	US 2004-22041	20041223
	EP 1703898	A2	20060927	EP 2004-815877	20041223
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU			

IN 2006DN04394 A 20070615 IN 2006-DN4394 20060728
 PRAI US 2003-533594P P 20031231
 WO 2004-US43886 W 20041223

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Ziprasidone formulations, including controlled-release formulations, formulations containing ziprasidone dihydrochloride, and combinations of ziprasidone and an addnl. active agent are described.

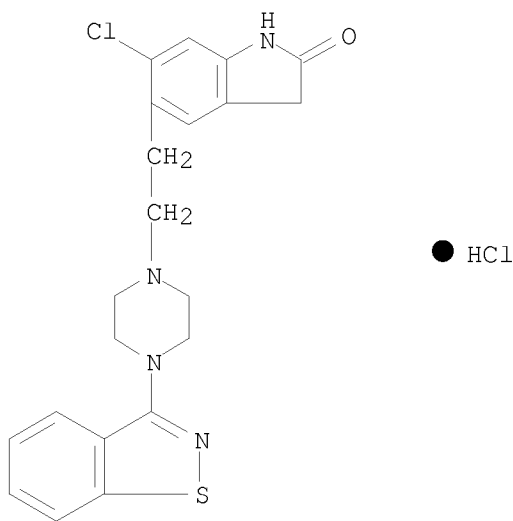
IT 138982-67-9 146939-27-7, Geodon
 858641-42-6 858641-43-7

RL: PEP (Physical, engineering or chemical process); PKT (Pharmacokinetics); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
 (ziprasidone formulations)

RN 138982-67-9 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)

PAGE 1-A



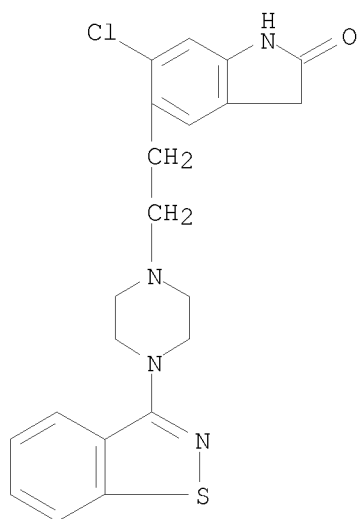
PAGE 2-A

● H₂O

RN 146939-27-7 CAPLUS

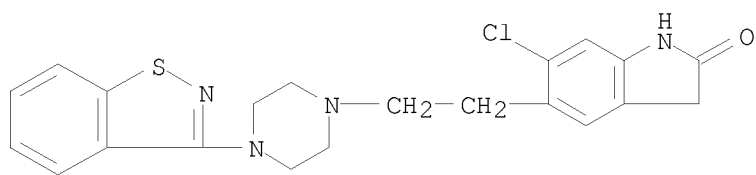
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)

10/598370



RN 858641-42-6 CAPLUS

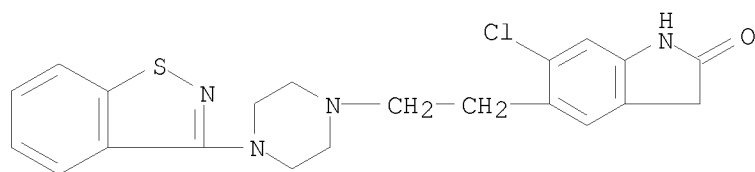
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 858641-43-7 CAPLUS

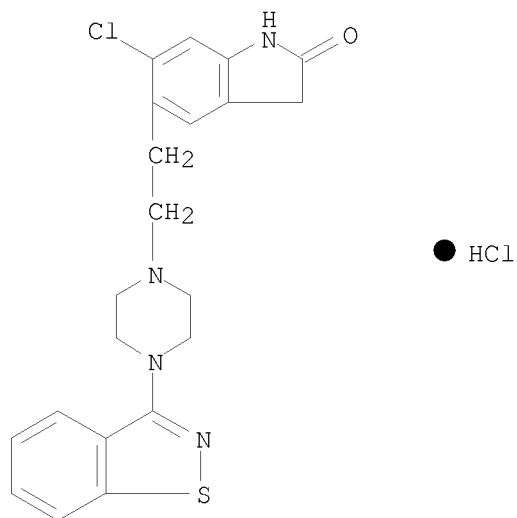
CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (1:2:2) (CA INDEX NAME)



● 2 HCl

● 2 H₂O

IT 122883-93-6, Ziprasidone hydrochloride
 RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
 (ziprasidone formulations)
 RN 122883-93-6 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L6 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
 AN 2005:638706 CAPLUS
 DN 143:159548
 TI Donepezil formulations
 IN Boehm, Garth; Dundon, Josephine
 PA Alpha, Inc., USA

SO PCT Int. Appl., 99 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005065645	A2	20050721	WO 2004-US42999	20041223
	WO 2005065645	A3	20051027		
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	GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,				
	LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,				
	NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,				
	TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,				
	AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,				
	EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,				
	RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,				
	MR, NE, SN, TD, TG				
	CA 2552221	A1	20050721	CA 2004-2552221	20041223
	US 20050232990	A1	20051020	US 2004-22346	20041223
	EP 1776089	A2	20070425	EP 2004-815115	20041223
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	IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
	IN 2006DN04397	A	20070713	IN 2006-DN4397	20060728
PRAI	US 2003-533496P	P	20031231		
	WO 2004-US42999	W	20041223		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB Donepezil formulations, including amorphous donepezil or pharmaceutically acceptable salts thereof; sustained-release formulations; and donepezil sprinkle formulations are disclosed.

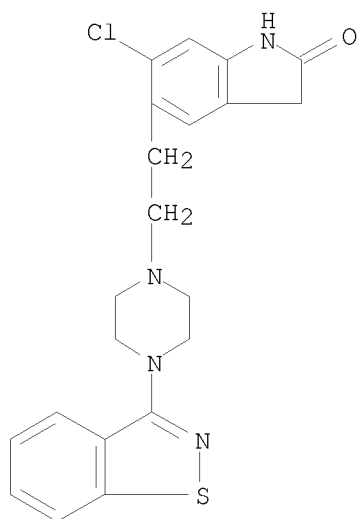
IT 146939-27-7, Ziprasidone

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(donepezil formulations)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)
 RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
 AN 2004:430288 CAPLUS
 DN 140:429017
 TI Drug condensation aerosols and kits
 IN Hale, Ron L.; Hodges, Craig C.; Lloyd, Peter M.; Lu, Amy T.; Myers, Daniel J.; Rabinowitz, Joshua D.; Wensley, Martin J.
 PA Alexza Molecular Delivery Corporation, USA
 SO U.S. Pat. Appl. Publ., 84 pp., Cont.-in-part of U.S. Ser. No. 633,877.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 39

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040099269	A1	20040527	US 2003-718982	20031120
	US 7090830	B2	20060815		
	US 20030051728	A1	20030320	US 2001-57198	20011026
	US 7766013	B2	20100803	US 2001-57197	20011026
	US 20030015197	A1	20030123	US 2002-146088	20020513
	US 7537009	B2	20090526		
	US 20030017115	A1	20030123	US 2002-146516	20020513
	US 6737042	B2	20040518		
	US 20030035776	A1	20030220	US 2002-146515	20020513
	US 6682716	B2	20040127		
	US 20030209240	A1	20031113	US 2002-146086	20020513
	US 20030007933	A1	20030109	US 2002-150267	20020515
	US 6797259	B2	20040928		
	US 20030007934	A1	20030109	US 2002-150268	20020515
	US 6780399	B2	20040824		
	US 20030091511	A1	20030515	US 2002-150056	20020515
	US 6805853	B2	20041019		
	US 20030017117	A1	20030123	US 2002-151596	20020516

US 6855310	B2	20050215		
US 20030206869	A1	20031106	US 2002-151626	20020516
US 6783753	B2	20040831		
US 20030017116	A1	20030123	US 2002-150857	20020517
US 6716415	B2	20040406		
US 20030021753	A1	20030130	US 2002-150591	20020517
US 6780400	B2	20040824		
US 20030005924	A1	20030109	US 2002-152652	20020520
US 6740307	B2	20040525		
US 20030012740	A1	20030116	US 2002-153139	20020520
US 6814954	B2	20041109		
US 20030017118	A1	20030123	US 2002-152639	20020520
US 6716416	B2	20040406		
US 20030021754	A1	20030130	US 2002-152640	20020520
US 6743415	B2	20040601		
US 20030012737	A1	20030116	US 2002-153311	20020521
US 6884408	B2	20050426		
US 20030015189	A1	20030123	US 2002-153831	20020521
US 6740308	B2	20040525		
US 20030017119	A1	20030123	US 2002-153839	20020521
US 6776978	B2	20040817		
US 20030032638	A1	20030213	US 2002-153313	20020521
US 20030005925	A1	20030109	US 2002-155621	20020522
US 6759029	B2	20040706		
US 20030012738	A1	20030116	US 2002-155373	20020522
US 6737043	B2	20040518		
US 20030017120	A1	20030123	US 2002-155703	20020522
US 6803031	B2	20041012		
US 20030021755	A1	20030130	US 2002-155705	20020522
US 6805854	B2	20041019		
US 20030000518	A1	20030102	US 2002-155097	20020523
US 6716417	B2	20040406		
US 20030015190	A1	20030123	US 2002-154594	20020523
US 6740309	B2	20040525		
US 20030017114	A1	20030123	US 2002-154765	20020523
US 6814955	B2	20041109		
US 20030118512	A1	20030626	US 2002-280315	20021025
WO 2003045484	A2	20030605	WO 2002-US37491	20021121
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AU 2002364508	A1	20030610	AU 2002-364508	20021121
US 20030138382	A1	20030724	US 2002-302010	20021121
US 7078016	B2	20060718		
US 20030138508	A1	20030724	US 2002-322227	20021217
EP 2052753	A1	20090429	EP 2009-2094	20030513
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CN 101623528	A	20100113	CN 2009-10166782	20030513
US 20070031340	A1	20070208	US 2003-633877	20030804

US 7585493	B2	20090908		
US 7645442	B2	20100112	US 2003-633876	20030804
US 20040126326	A1	20040701	US 2003-734902	20031212
US 7029658	B2	20060418		
US 20040127481	A1	20040701	US 2003-735198	20031212
US 7008615	B2	20060307		
US 20040126327	A1	20040701	US 2003-735199	20031212
US 7070761	B2	20060704		
US 20040127490	A1	20040701	US 2003-735495	20031212
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US 7442368	B2	20081028		
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US 20060216243	A1	20060928	US 2006-439475	20060523
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US 20060216244	A1	20060928	US 2006-442917	20060530
US 7465436	B2	20081216		
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US 20060239936	A1	20061026	US 2006-454573	20060616
US 7465437	B2	20081216		
US 20060246011	A1	20061102	US 2006-479361	20060630
US 7524484	B2	20090428		
US 20060246012	A1	20061102	US 2006-479509	20060630
US 7507398	B2	20090324		
US 20060251587	A1	20061109	US 2006-479892	20060630
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US 20060251588	A1	20061109	US 2006-481279	20060705
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US 20060257328	A1	20061116	US 2006-488302	20060718
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US 20060257329	A1	20061116	US 2006-488943	20060718
US 20060280692	A1	20061214	US 2006-488932	20060718
US 7601337	B2	20091013		
US 20060269487	A1	20061130	US 2006-501246	20060807
US 7510702	B2	20090331		
US 20060286042	A1	20061221	US 2006-500735	20060807
US 7445768	B2	20081104		
US 20070122353	A1	20070531	US 2006-504419	20060815
US 20060286043	A1	20061221	US 2006-507986	20060822
US 7491047	B2	20090217		
US 20070014737	A1	20070118	US 2006-523685	20060919
US 7507397	B2	20090324		
US 20070178052	A1	20070802	US 2007-621397	20070109
US 7468179	B2	20081223		
US 20070286816	A1	20071213	US 2007-744799	20070504
AU 2008200911	A1	20080320	AU 2008-200911	20080227
US 20080175796	A1	20080724	US 2008-57330	20080327
US 20080311176	A1	20081218	US 2008-117737	20080508

	US	20090246147	A1	20091001	US	2009-413339	20090327
	US	20100181387	A1	20100722	US	2009-628949	20091201
PRAI	US	2001-57197	A2	20011026			
	US	2001-57198	A2	20011026			
	US	2001-332165P	P	20011121			
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	US	2001-332280P	P	20011121			
	US	2001-342066P	P	20011218			
	US	2002-50056	B2	20020114			
	US	2002-57098	A2	20020123			
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	US	2002-150267	A2	20020515			
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	US	2002-150857	A2	20020517			
	US	2002-152639	A2	20020520			
	US	2002-152640	A2	20020520			
	US	2002-152652	A2	20020520			
	US	2002-153139	A2	20020520			
	US	2002-153311	A2	20020521			
	US	2002-153313	B2	20020521			
	US	2002-153831	A2	20020521			
	US	2002-153839	A2	20020521			
	US	2002-155373	A2	20020522			
	US	2002-155621	A2	20020522			
	US	2002-155703	A2	20020522			
	US	2002-155705	A2	20020522			
	US	2002-154594	A2	20020523			
	US	2002-154765	A2	20020523			
	US	2002-155097	A2	20020523			
	US	2002-412068P	P	20020918			
	US	2002-280315	A2	20021025			
	US	2002-302010	A2	20021121			
	US	2002-302614	A2	20021121			
	US	2002-322227	A2	20021217			
	US	2003-633876	A2	20030804			
	US	2003-633877	A2	20030804			
	US	2001-294203P	P	20010524			
	US	2001-296225P	P	20010605			
	US	2001-317479P	P	20010905			
	US	2001-335049P	P	20011030			
	US	2001-336218P	P	20011030			
	US	2001-345145P	P	20011109			
	US	2001-345876P	P	20011109			
	US	2001-345882P	P	20011109			
AU	2002-303833	A3	20020521				
WO	2002-US37491	W	20021121				
CN	2003-814156	A3	20030513				
EP	2003-734014	A3	20030513				

US 2003-718982	A1	20031120
US 2003-734902	A1	20031212
US 2003-735198	A1	20031212
US 2003-735199	A1	20031212
US 2003-735495	A1	20031212
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US 2003-749535	A1	20031230
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US 2003-749537	A1	20031230
US 2003-749539	A1	20031230
US 2003-749783	A1	20031230
US 2003-750303	A1	20031230
US 2004-766149	A1	20040127
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US 2004-766647	A1	20040127
US 2004-768220	A1	20040129
US 2004-768281	A1	20040129
US 2004-769157	A1	20040129
US 2004-769046	A1	20040130
US 2004-775586	A1	20040209
US 2004-813721	A1	20040331
US 2004-814998	A1	20040331
US 2004-816492	A1	20040401
US 2004-816567	A1	20040401
US 2005-283414	B1	20051117
US 2006-488932	A1	20060718
US 2006-488943	B1	20060718
US 2006-460530	B1	20060727
US 2006-504419	A1	20060815
US 2007-687466	B1	20070316

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The present invention provides novel condensation aerosols for the treatment of disease and/or intermittent or acute conditions. These condensation aerosols have little or no pyrolysis degradation products and are characterized by having an MMAD of between 1-3 μ . The aerosols are made by rapidly heating a substrate coated with a thin film of drug having a thickness of between 0.05 and 20 μ m, while passing a gas over the film, to form particles of a desirable particle size for inhalation. Kits comprising a drug and a device for producing a condensation aerosol are also provided. The device contained in the kit typically, has an element for heating the drug which is coated as a film on the substrate and contains a therapeutically ED of a drug when the drug is administered in aerosol form, and an element allowing the vapor to cool to form an aerosol. Also disclosed, are methods for using these aerosols and kits. For example, acebutolol (MW 336, m.p. 123°, oral dose 400 mg), a β -adrenergic blocker (cardiovascular agent), was coated on a stainless steel cylinder (8 cm). The drug (0.89 mg) was applied to the substrate, for a calculated drug film thickness of 1.1 μ m. The substrate was heated at 20.5 V and purity of the drug aerosol particles was determined to be 98.9%; 0.53 mg was recovered from the filter after vaporization, for a percent yield of 59.6%. A total mass of 0.81 mg was recovered from the test apparatus and substrate, for a total recovery of 91%. High speed photographs were taken as the drug-coated substrate was heated to monitor visually formation of a thermal vapor. The photographs showed that a thermal vapor was initially visible 30 ms after heating was

initiated, with the majority of the thermal vapor formed by 130 ms.
Generation of the thermal vapor was complete by 500 ms.

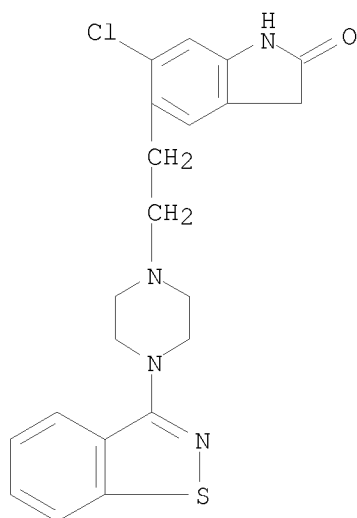
IT 146939-27-7, Ziprasidone

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(drug condensation aerosols and kits for inhalation therapy)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L6 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2004:375366 CAPLUS

DN 141:355530

TI Stability indicating reversed-phase high-performance liquid chromatographic and thin layer densitometric methods for the determination of ziprasidone in bulk powder and in pharmaceutical formulations

AU El-Sherif, Zeinab A.; El-Zeany, Badr; El-Houssini, Ola M.; Rashed, Mohamed S.; Aboul-Enein, Hassan Y.

CS National Organization for Drug Control and Research, Cairo, Egypt

SO Biomedical Chromatography (2004), 18(3), 143-149

CODEN: BICHE2; ISSN: 0269-3879

PB John Wiley & Sons Ltd.

DT Journal

LA English

AB Two sensitive and reproducible methods were developed and validated for the determination of ziprasidone (ZIP) in the presence of its degradation products in

pure form and in pharmaceutical formulations. The 1st method was based on a reversed-phase HPLC method with a Lichrosorb RP C18 column and H₂O-MeCN-H₃PO₄ (76:24:0.5) as the mobile phase at a flow rate of 1.5 mL min⁻¹ at ambient temperature. Quantification was achieved with UV detection at 229 nm over a concentration range of 10-500 µg mL⁻¹ with mean percentage recovery of 99.71. The method retained its accuracy in presence of up to

90% of ZIP degradation products. The 2nd method was based on TLC separation of ZIP from its degradation products followed by densitometric measurement of the intact drug spot at 247 nm. The separation was carried out on aluminum sheet of silica gel 60 F254 using CHCl₃-MeOH-HOAc (75:5:4.5) as the mobile phase, over a concentration range of 1-10 µg/spot and mean percentage recovery of 99.26. Both methods were applied successfully to laboratory prepared mixts. and pharmaceutical capsules.

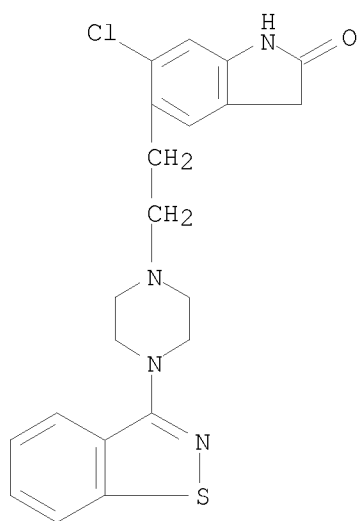
IT 146939-27-7, Ziprasidone

RL: ANT (Analyte); ANST (Analytical study)

(reversed-phase HPLC and TLC for determination of ziprasidone in pharmaceutical formulations)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



OSC.G 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (27 CITINGS)
 RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2003:5811 CAPLUS

DN 138:78458

TI Pharmaceutical compositions containing a solid dispersion of a poorly-soluble drug in a matrix and a solubility-enhancing polymer

IN Babcock, Walter Christian; Curatolo, William John; Friesen, Dwayne Thomas; Ketner, Rodney James; Lo, Julian Belknap; Nightingale, James Alan Schriver; Shanker, Ravi Mysore; West, James Blair

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 212 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

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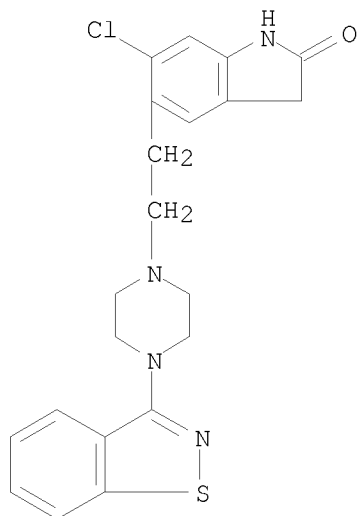
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      GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
      LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
      PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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      KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,
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    CA 2448864      A1      20030103      CA 2002-2448864      20020513
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    AU 2002304387      A1      20030108      AU 2002-304387      20020513
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    EP 1401503      B1      20070509
      R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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    BR 2002010520      A      20040622      BR 2002-10520      20020513
    JP 2005500313      T      20050106      JP 2003-506936      20020513
    AT 361758      T      20070615      AT 2002-733019      20020513
    ES 2284871      T3      20071116      ES 2002-733019      20020513
    US 20030104063      A1      20030605      US 2002-175640      20020619
    MX 2003011922      A      20040326      MX 2003-11922      20031218
    US 20090011024      A1      20090108      US 2008-217700      20080708
PRAI US 2001-300261P      P      20010622
    WO 2002-IB1800      W      20020513
    US 2002-175640      B1      20020619
AB  A pharmaceutical composition comprises a dispersion containing a
low-solubility drug and
a matrix combined with a concentration-enhancing polymer. At least a major
portion of the drug is amorphous in the dispersion. The compns. improve
the stability of the drug in the dispersion, and/or the concentration of drug
in
a use environment. For example, a solid drug/matrix dispersion comprised
of 10% 3,5-dimethyl-4-(3'-pentoxy)-2-(2',4',6'-trimethylphenoxy)pyridine
and 90% polyethylene glycol was prepared by a melt-congeal process. The
solid drug/matrix dispersion was then combined with the concentration-enhancing
polymer hydroxypropyl Me cellulose acetate succinate (HPMCAS). Addition of
HPMCAS increased maximum concentration of drug in solution during the first 90
min
(Cmax90) and the area under the aqueous concentration vs. time curve after 90
min
(AUC90) by 1.12-fold and 1.19-fold, resp., compared to the solid
drug/matrix dispersion with no concentration-enhancing polymer and by 2.38-fold
and 2.25-fold, resp., compared to pure drug.
IT  185021-64-1
    RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
      (Uses)
      (compns. containing poorly-soluble drug/matrix solid dispersion and
      solubility-enhancing polymer)
RN  185021-64-1  CAPLUS
CN  2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-
    chloro-1,3-dihydro-, methanesulfonate (1:1)  (CA INDEX NAME)

CM  1

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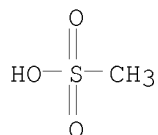
10/598370

CRN 146939-27-7
CMF C21 H21 Cl N4 O S



CM 2

CRN 75-75-2
CMF C H4 O3 S



OSC.G 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2000:295079 CAPLUS
DN 133:114944
TI Characterization of dopaminergic compounds at hD2short, hD4.2 and hD4.7
receptors in agonist-stimulated [35S]GTPγS binding assays
AU Gilliland, S. L.; Alper, R. H.
CS Toxicology and Therapeutics, Department of Pharmacology, University of
Kansas Medical Center, Kansas City, KS, 66160-7417, USA
SO Naunyn-Schmiedeberg's Archives of Pharmacology (2000), 361(5), 498-504
CODEN: NSAPCC; ISSN: 0028-1298
PB Springer-Verlag
DT Journal
LA English
AB Dopamine receptor agonists and antagonists have been extensively

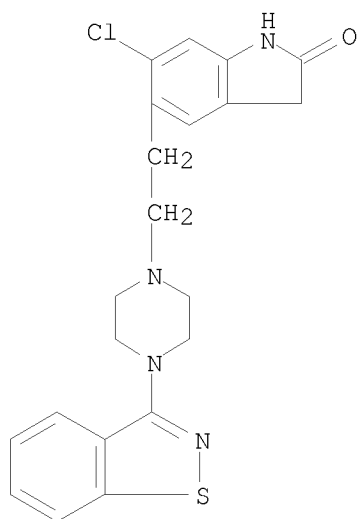
characterized in radioligand binding assays; only a limited number of labs. have characterized them using a functional assay at multiple receptor subtypes. Expts. were designed to assess four agonists and seven antagonists at three cloned human dopamine receptors using agonist-stimulated [35S]GTPγS binding assays in membranes to quantify the initial cellular event following ligand/receptor interaction. In this model there is constitutive G protein activity (agonist-independent [35S]GTPγS binding) and potentially constitutive dopamine receptor activity. Thus, discrimination between silent antagonists, partial agonists and inverse agonists is theor. possible. It was anticipated that distinctions could be made regarding efficacy of the seven receptor antagonists to provide insight regarding the therapeutic use of antipsychotic drugs. In membranes prepared from CHO cells transfected to express high densities of human D2short, D4.2 or D4.7 receptors, the dopamine receptor agonists apomorphine, pergolide, quinlorane and quinpirole produced concentration-dependent increases in agonist-stimulated [35S]GTPγS binding. At the hD2short receptor, pergolide and apomorphine were essentially equipotent and more potent than quinlorane and quinpirole; all four agonists displayed similar efficacy at this receptor. At the hD4.2 and the hD4.7 receptors apomorphine was the most potent and pergolide the least efficacious of the four drugs. The ability (both potency and efficacy) of clozapine, haloperidol, olanzapine, quetiapine, risperidone, spiperone and ziprasidone to block apomorphine-stimulated [35S]GTPγS binding and alter basal [35S]GTPγS binding was also assessed. All of the antagonists inhibited apomorphine-stimulated [35S]GTPγS binding with potencies (K_b values) similar to and in rank order consistent with their affinities reported in the literature using radioligand binding assays. Addnl., none of the antagonists altered basal, agonist-independent [35S]GTPγS binding, thus they behaved as pure, silent antagonists at D2short, D4.2 and D4.7 receptors under our conditions. In summary, the data suggest that therapeutic distinctions between typical and atypical antipsychotic drugs cannot be made based on their function at D2short, D4.2 and D4.7 subtypes of dopamine receptors.

IT 146939-27-7, Ziprasidone

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(characterization of dopaminergic compds. at hD2short, hD4.2 and hD4.7
receptors in agonist-stimulated [35S]GTPγS binding assays)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
 RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2000:290839 CAPLUS

DN 132:303503

TI Compositions and methods employing r(-) fluoxetine and other active ingredients

IN Barberich, Timothy J.; Rubin, Paul D.; Handley, Dean A.

PA Sepracor Inc., USA

SO PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000024399	A1	20000504	WO 1999-US24970	19991022
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 20020151543	A1	20021017	US 2002-158886	20020603
PRAI	US 1998-177703	A	19981023		
	US 1998-86262	B2	19980528		
	US 2000-664732	B3	20000919		

AB Pharmaceutical compns. which comprise R(-)-fluoxetine and one or more other biol. active compds. are disclosed. Methods of treating or preventing a disease or disorder, especially a psychotic or psychiatric disease or disorder, using the above pharmaceutical composition or by administering a R(-)-fluoxetine in combination with one or more other biol. active compds.

are also disclosed. Methods of treating patients having or at risk of having AIDS or HIV infection, cancer, cardiac disorder, post-myocardial depression and posttraumatic stress disorder using optically pure R(-) fluoxetine in combination with one or more other biol. active compds. are further disclosed.

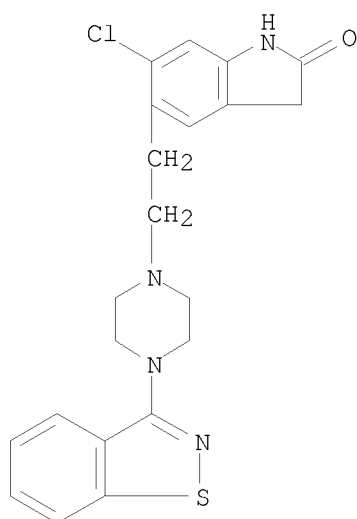
IT 146939-27-7, Ziprasidone

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(R(-)-fluoxetine and benzodiazepine combinations for treatment of psychotic disorders)

RN 146939-27-7 CAPLUS

CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
 RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2010 ACS on STN

AN 1994:483379 CAPLUS

DN 121:83379

OREF 121:14993a,14996a

TI Process for preparing aryl piperazinyl-heterocyclic compounds useful as neuroleptics

IN Bowles, Paul; Busch, Frank R.; Allen, Douglas J. M.; Diroma, Sabeto A.; Godek, Dennis M.

PA Pfizer Inc., USA

SO Can. Pat. Appl., 14 pp.

CODEN: CPXXEB

DT Patent

LA English

FAN.CNT 3

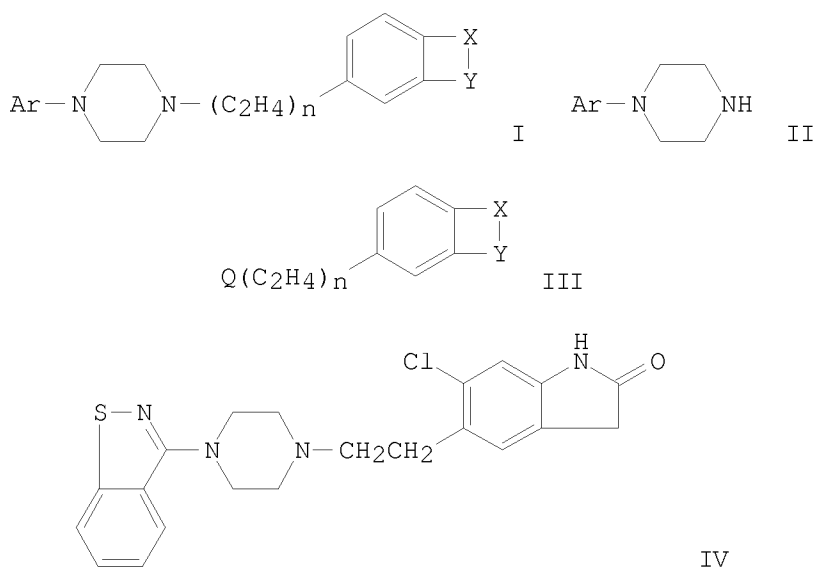
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	CA 2095587	A1	19940227	CA 1993-2095587	19930505

PRAI	CA 2095587	C	20000208		
	US 5206366	A	19930427	US 1992-936179	19920826
	US 5312925	A	19940517	US 1992-939204	19920901
	US 5338846	A	19940816	US 1993-49905	19930420
	US 1992-936179	A	19920826		
	US 1992-939204	A	19920901		
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS CASREACT 121:83379; MARPAT 121:83379

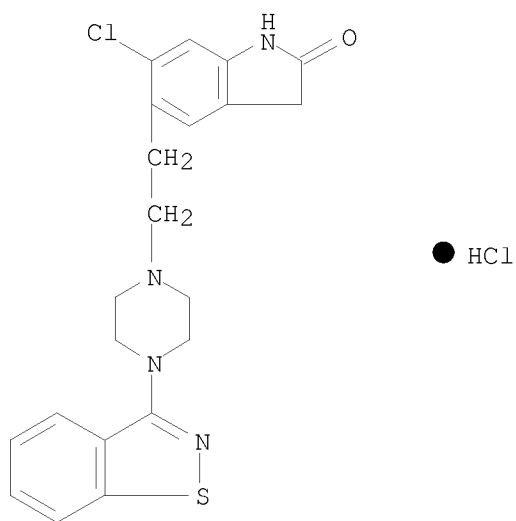
GI



AB A process is claimed, for preparing neuroleptic (no data) title compds. I [Ar = (un)substituted naphthyl, quinolyl, 6-hydroxy-8-quinolyl, isoquinolyl, quinazolyl, benzoisothiazolyl, or an oxide or dioxide thereof, benzothiazolyl, benzothiadiazolyl, benzotriazolyl, benzoxazolyl, benzoxazolonyl, indolyl, (di)(fluoro)indanyl, 3-indazolyl, or phthalazinyl; n = 1 or 2; X and Y = atoms to form 2nd ring of ring system selected from (un)substituted quinolyl, 2-hydroquinolyl, benzothiazolyl, 2-aminobenzothiazolyl, benzoisothiazolyl, indazolyl, 2-hydroxyindazolyl, indolyl, spiro[cyclopentane-1,3'-indolyl], and oxindolyl]. The method involves treatment of an arylpiperazine II or its mono-HZ salt (Z = F, Cl, Br, iodo, MeSO₃, CF₃SO₃, CF₃CO₂) with an alkyl halide III (Q = F, Cl, Br, iodo) and a reagent to neutralize hydrohalic acid, heating the mixture under suitable conditions to effect coupling, and, if desired, preparing a pharmaceutically acceptable salt. This aqueous method gives improved yields, eliminates handling and disposal of organic solvents, and neither gives byproducts nor requires special isolation procedures such as extraction, distillation, or recrystn. For example, a mixture of 3-(1-piperazinyl)-1,2-benzisothiazole, 5-(2-chloroethyl)-6-chlorooxindole, and Na₂CO₃ in H₂O was refluxed for 9-12 h, cooled, and filtered to give title compound IV (91% yield, 94.5% purity), also converted to its

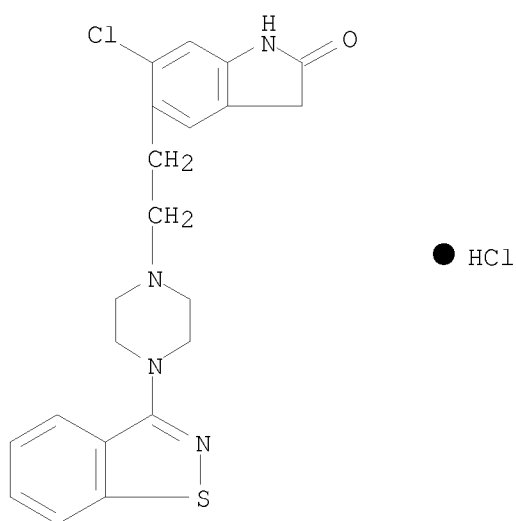
HCl salt (86% yield, 99.5% purity). In another example, IV was similarly obtained on a 9-kg scale, with 83.8% recrystd. (THF) yield and 99.7% purity.

IT 122883-93-6P, 5-[2-[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one hydrochloride
 138982-67-9P, 5-[2-[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one hydrochloride monohydrate 146939-27-7P,
 5-[2-[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2H-indol-2-one
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, via coupling of piperazinylbenzisothiazole with (chloroethyl)chlorooxindole in water)
 RN 122883-93-6 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)



RN 138982-67-9 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-, hydrochloride, hydrate (1:1:1) (CA INDEX NAME)

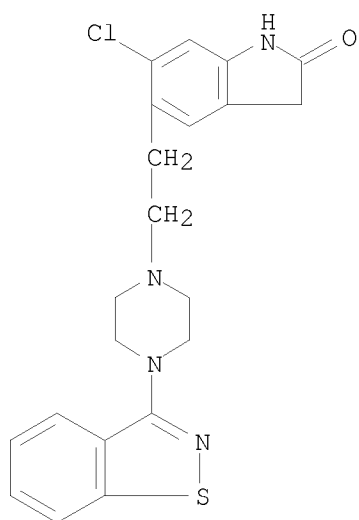
PAGE 1-A



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RN 146939-27-7 CAPLUS
 CN 2H-Indol-2-one, 5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro- (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	183.42	381.17
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-23.80	-23.80

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 17:03:07 ON 30 DEC 2010